Review

Ting Zhao, Shao-Jing Li, Zhao-Xin Zhang, Man-Li Zhang, Qing-Wen Shi*, Yu-Cheng Gu, Mei Dong* and Hiromasa Kiyota*

Chemical constituents from the genus *Saussurea* and their biological activities

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Abstract: The genus *Saussurea* (Asteraceae) contains about 400 species distributed around Asia and Europe and used in the traditional medicines of many cultures. The main compounds isolated from *Saussurea* species are terpenoids, in particular, sesquiterpenoids are dominant. This review lists 404 chemical constituents as well as their biological activity (111 references).

Keywords: biological activity; chemical constituents; *Saussurea*; sesquiterpenoids.

Introduction

The genus *Saussurea*, which belongs to the Asteraceae family, encompasses about 400 species distributed throughout Asia and Europe, and 264 species can be found in China [1, 2]. About 30 of them have been used in traditional Chinese medicine (TCM) and more than 10 species have long been used in Chinese folk medicine [3, 4]. For example, *Saussurea lappa*, cultivated in Southwest China shows spasmolysis, antihypertension and antibacterial activities [5]. *Saussurea pulchella* has been used as a Korean folk medicine, the biological activities of this plant are anti-inflammatory, anti-hypertension, anti-hepatitis and antarthritic [6, 7]. *Saussurea laniceps* is mainly cultivated in Tibet, Yunnan and Sichuan provinces of China [8]. It is a well-known Tibetan medicine that is used in the treatment of gynopathy and rheumatic arthritis [9]. *Saussurea muliensis*, also called 'Muli XueLian' in China, is a TCM and some triterpenes have been isolated [10]. *Saussurea involucrata*, a precious traditional Chinese medicine from the Xinjiang Uygur Autonomous Region, has been used in the treatment of rheumatic arthritis and lower abdominal pain. The main constituents in *S. involucrata* are sesquiterpenes and flavonoids [11]. *Saussurea triangulata* plays a role in the treatment of inflammation, hypertension and hepatitis as a Korean folk medicine [12]. In ancient times, the rhizome of *S. petrovii* was used in the treatment of rheumatism and bleeding [13]. *Saussurea medusa*, grows in the Tibet region of China and is mainly used to treat rheumatoid diseases, gynopathy and is effective in enhancing physical strength [14–16]. The main chemical components from the plants of this genus are sesquiterpenes, triterpenes, flavonoids, lignans and phenolic compounds [17]. The pharmacological activities of the components are mainly anti-tumor, anti-inflammatory and anti-aging. They also improve the function of cardiovascular system. This review summarizes the chemical constituents of the genus *Saussurea* and their biological activities with the aim to provide helpful information for future investigation.

***Corresponding authors: Qing-Wen Shi,** School of Pharmaceutical Sciences, Hebei Key Laboratory of Forensic Medicine, Hebei Medical University, Shijiazhuang 050017, Hebei Province, China, e-mail: shiqingwen@hebmu.edu.cn; **Mei Dong,** Hebei Key Laboratory of Forensic Medicine, Hebei Medical University, Shijiazhuang 050017, Hebei Province, China, e-mail: meimeidong11@126.com; and **Hiromasa Kiyota,** Graduate School of Environmental and Life Science, Okayama University, 1-1-1 Tsushima-Naka, Kita-ku, Okayama 700-8530, Japan, e-mail: kiyota@okayama-u.ac.jp
Ting Zhao, Shao-Jing Li, Zhao-Xin Zhang and Man-Li Zhang: School of Pharmaceutical Sciences, Hebei Key Laboratory of Forensic Medicine, Hebei Medical University, Shijiazhuang 050017, Hebei Province, China
Yu-Cheng Gu: Syngenta Jealott's Hill International Research Centre, Berkshire, RG42 6EY, UK

Chemical constituents

More than 420 components have been isolated from *Saussurea* genus, including sesquiterpenes, triterpenes, flavonoids and lignans, among others. Sesquiterpenes are the characteristic components of *Saussurea* plants and are discussed first.

Sesquiterpenes (Table 1)

Sesquiterpenes comprise a group of C_{15} compounds derived from the assembly of three isoprene units, which represent the largest group of secondary metabolites

Table 1 Sesquiterpenes isolated from *Saussurea* genus.

No	Name	Source	Reference
1	11β,13-Dihydrodehydrocostuslactone	*S. salicifolia*	[18]
	Dihydrodehydrocostuslactone	*S. involucrata*	[19]
	Mokko lactone	*S. laniceps*	[11, 20]
2	12-Methoxydihydrodehydrocostuslactone	*S. lappa*	[21]
3	13-Sulfodihydrodehydrocostuslactone Sulfocostunolide A	*S. lappa*	[5, 22]
4	Sulfocostunolide B	*S. lappa*	[22]
5	11βH-11,13-Dihydrodehydrocostuslactone 8α-*O*-(6′-*O*-acetyl)-β-D-glucopyranoside	*S. involucrata*	[11, 23–25]
6	11βH-11,13-Dihydrodehydrocostuslactone 8-*O*-β-D-glucoside	*S. involucrata*	[11, 19, 23, 24]
7	3α,8α-Dihydroxy-1αH,5αH,6βH,7αH,11βH-guai-4(15),10(14)-dien-6,12-olide 8-*O*-2-hydroxymethylacrylate	*S. laniceps*	[26]
8	3α,8α-Dihydroxy-1αH,5αH,6βH,7αH,11βH-guai-4(15),10(14)-dien-6,12-olide 8-*O*-(2-methyl) acrylate	*S. laniceps*	[26]
9	8α-Hydroxy-11βH-11,13-dihydrodehydrocostuslactone	*S. involucrata*	[11, 19, 23]
		S. laniceps	[8]
10	11β,13-Dihydrodesacylcynaropicrin	*S. involucrata*	[11, 23]
	3α,8α-Dihydroxy-11βH-11,13-dihydrodehydrocostuslactone	*S. laniceps*	[8, 20]
		S. medusa	[14]
11	3α,8α-Dihydroxy-11βH-11,13-dihydrodehydrocostuslactone 8-*O*-β-D-glucopyranoside	*S. involucrata*	[11, 19, 24]
		S. laniceps	[8]
12	8α-*O*-(2,3′-Dihydroxyisobutyryl)-11β,13-dihydrodesacylcynaropicrin	*S. pulchella*	[7]
		S. involucrata	[19]
13	11β,13-Dihydro-3-epizaluzanin C	*S. lappa*	[27]
14	(+)-3α-Hydroxy-11αH-guaia-4(15),10(14)-dien-12,6α-olide	*S. alata*	[28]
		S. macrota	[29]
15	11β,13-Dihydrodesacylcynaropicrin	*S. pulchella*	[7]
	11βH-11,13-Dihydrodeacylcynaropicrin	*S. affinis*	[30]
	8α-Hydroxy-11α,13-dihydrozaluzanin C	*S. calcicola*	[31]
	8α-Hydroxy-11α,13-dihydrozaluzanin	*S. deltoidea*	[32]
16	Deltoiden B	*S. deltoidea*	[32]
17	11α,13-Dihydroglucozaluzanin C	*S. involucrata*	[23]
18	11,13-Dihydroglucozaluzanin C	*S. involucrata*	[11]
19	Sausinlactone A	*S. involucrata*	[23]
20	Sausinlactone B	*S. involucrata*	[23]
21	Sausinlactone C	*S. involucrata*	[23]
22	3β,8α-Dihydroxy-13-methoxy-4(14),10(15)-dien-(1αH,5αH,6βH,11βH)-12,6-olide	*S. alata*	[33]
23	3β,8α-Dihydroxy-13-methoxyl-4(14),10(15)-dien-(1αH,5αH,6βH,11αH)-12,6-olide	*S. alata*	[33]
24	8α-Hydroxy-11βH-11,13-dihydrodesacylcynaropicrin 8-*O*-β-D-glucoside	*S. involucrata*	[11]
		S. pulchella	[7]
		S. affinis	[30]
25	3α,8α-Dihydroxy-11βH-11,13-dihydrodehydrocostuslactone 8α-*O*-β-D-glucoside	*S. laniceps*	[20]
26	11α,13-Dihydrojanerin	*S. salicifolia*	[18]
27	11α,13-Dihydrocynaropicrin	*S. salicifolia*	[18]
28	Lappalone	*S. lappa*	[27]
29	Involucratin	*S. involucrata*	[19]
	Saussureamine B	*S. lappa*	[34, 35]
30	Saussureamine C	*S. lappa*	[34, 35]
31	Pulchellamine A	*S. pulchella*	[7]
32	Pulchellamine B	*S. pulchella*	[7]
33	Pulchellamine D	*S. pulchella*	[7]
34	Pulchellamine E	*S. pulchella*	[7]
35	Pulchellamine F	*S. pulchella*	[7]
36	Pulchellamine G	*S. pulchella*	[7]
37	Pulchellamine C	*S. pulchella*	[7]
38	11,13-Epoxyisozaluzanin C	*S. lappa*	[36, 37]
39	Epoxyisozaluzanin C 4α,15-epoxide	*S. lappa*	[36–38]

Table 1 (continued)

No	Name	Source	Reference
	11,13-Epoxydehydrocostuslactone		
	11,13-Epoxydehydroisozaluzanin C		
40	11,13-Epoxy-3-ketodehydrocostuslactone	*S. lappa*	[36]
41	15-Hydroxydehydrocostuslactone	*S. lappa*	[39]
42	Repdiolide triol	*S. candicans*	[40]
43	15-Deschloro-15-hydroxychlorojanerin	*S. lipschitzii*	[41]
	Hydroxyjanerin	*S. candicans*	[40]
44	Methoxyjanerin	*S. candicans*	[40]
45	Cebellin G	*S. candicans*	[40]
	15-Deschloro-15-acetoxychlorojanerin	*S. lipschitzii*	[41]
46	Chlorohyssopifolin A	*S. alata*	[33]
	Centaurepensin	*S. candicans*	[40]
47	Chlorohyssopifolin E	*S. alata*	[33]
48	Chlorojanerin	*S. alata*	[33]
		S. candicans	[40]
		S. lipschitzii	[41]
49	Linichlorin A	*S. candicans*	[40]
	Elegin	*S. elegans*	[42]
50	Salegine	*S. elegans*	[43]
51	4β,15-Dihydro-3-oxo-trans-germacran-6α,12-olide	*S. lappa*	[44]
52	Epoxyisozaluzanin C 11α,13-epoxide	*S. lappa*	[38, 44]
	14,15-Epoxyisozaluzanin		
53	Deacyljanerin	*S. salicifolia*	[18]
54	Saelin	*S. elegans*	[45]
	Deacyljanerin 4-hydroxytiglate	*S. salicifolia*	[18]
55	19-Deoxyjanerin	*S. salicifolia*	[18]
56	Janerin	*S. salicifolia*	[18]
		S. candicans	[40]
		S. lipschitzii	[41]
57	Isodehydrocostuslactone	*S. lappa*	[46–48]
58	Isolipidiol	*S. deltoidea*	[32]
59	Saussureolide	*S. affinis*	[30]
60	11α,13-Dihydrodeacylcynaropicrin 4-hydroxytiglate	*S. salicifolia*	[18]
61	11α,13-Dihydrodeacyljanerin 4-hydroxytiglate	*S. salicifolia*	[18]
62	4β,15,11β,13-Tetrahydro-3-oxo-trans-germacran-6α,12-olide	*S. lappa*	[44]
63	Isoamberboin	*S. affinis*	[30]
64	Austricin	*S. alata*	[33]
65	Isoallantolactone	*S. lappa*	[49]
66	8α-Hydroxydehydrocostuslactone	*S. salicifolia*	[18]
67	8α-Acetoxydehydrocostuslactone	*S. salicifolia*	[18]
68	8α-Propionyloxydehydrocostuslactone	*S. salicifolia*	[18]
69	Saupirine	*S. neopulchella*	[50]
70	Eleganin	*S. salsa*	[51]
71	3-Epizaluzanin C	*S. lappa*	[27]
72	8-Hydroxyzaluzanin C	*S. alata*	[33]
	Deacylcynaropicrin	*S. deltoidea*	[52]
		S. calcicola	[31]
		S. candicans	[40]
		S. pulchella	[7]
		S. deltoidea	[32]
	Isozaluzanin C	*S. lappa*	[46]
73	Aguerin B	*S. katochaete*	[53]
		S. candicans	[40]
		S. affinis	[30]
		S. calcicola	[31]
		S. elegans	[54]

Table 1 (continued)

No	Name	Source	Reference
74	Aguerin A	*S. elegans*	[54]
		S. affinis	[30]
75	Cynaropicrin	*S. candicans*	[40]
		S. katochaete	[53]
	3β,8α-Dihydroxy-1αH,5αH,6βH,7αH,11βH-guaia-4(15),10(14)-dien-6,12-olide	*S. deltoidea*	[32]
	8-*O*-2-hydroxymethylacrylate	*S. pulchella*	[7]
76	3β-Hydroxy-8α-epoxymethylacriloiloxyguaia-4(15),10(14),11(13)-trien-6,12-olide	*S. calcicola*	[31]
77	Cebellin F	*S. calcicola*	[31]
		S. katochaete	[53]
78	Kandavanolide	*S. calcicola*	[31]
79	8α-*O*-(3′-Hydroxy-3′-methylbutyryl)desacylcynaropicrin	*S. pulchella*	[7]
80	Cynaropicrin diacetate	*S. katochaete*	[53]
81	4′-O-Deacyl-3-*O*-(acetoxymethacryloyl)cynaropicrin	*S. katochaete*	[53]
82	3-*O*-(Acetoxymethacryloyl)cynaropicrin	*S. katochaete*	[53]
83	4′-*O*-Acetyl-3-*O*-(acetoxymethacryloyl)cynaropicrin	*S. katochaete*	[53]
84	Saurine	*S. pulchella*	[55, 56]
85	3-Oxodehydrocostuslactone	*S. lappa*	[44]
86	Saussurealdehyde	*S. lappa*	[48]
87	Falbellin	*S. deltoidea*	[57]
88	Japonicolactone	*S. involucrata*	[11, 23]
89	10β,14-Dihydroxy-11βH-guai-4(15)-en-12,6α-olide 14-*O*-β-D-glucoside	*S. involucrata*	[58]
90	Hemistepsin	*S. deltoidea*	[32]
91	Spathulenol	*S. cauloptera*	[2]
92	Lanicepomine A	*S. laniceps*	[9]
93	4β(H)-Eudesmane	*S. involucrata*	[59]
94	4α-Hydroxy-4β-methyldihydrocostol	*S. lappa*	[27, 60]
95	Eudesma-4(14),11(13)-diene-3β,12-diol	*S. conica*	[61]
96	3β-(β-D-Glucopyranosyl)oxyeudesma-4(14),11(13)-dien-12-ol	*S. conica*	[61]
97	3α,7α,12-Trihydroxyeudesm-4(15),-11(13)-diene	*S. laniceps*	[26]
98	6α-Hydroxycostic acid 6-β-D-glucopyranoside	*S. involucrata*	[11]
99	1β,6α-Dihydroxycostic acid ethyl ester	*S. lappa*	[27]
100	7α-Hydroxycostol	*S. cauloptera*	[2]
	Petrovin B	*S. petrovii*	[62]
101	Eudesma-4(14),11(13)-diene-7α,8α,12-triol	*S. cauloptera*	[2]
102	Costic acid	*S. involucrata*	[18]
		S. involucrata	[11]
103	11,12-Dihydrocostol	*S. cauloptera*	[2]
104	11-Hydroxy-11,13-dihydrocostol	*S. deltoidea*	[57]
105	Eudesm-4(15)-ene-1*a*,6α-diol	*S. macrota*	[63]
106	Eudesm-4(14)-ene-3β,11-diol	*S. conica*	[61]
107	Eudesm-4(15)-ene-1β,6α-diol	*S. pulchella*	[6]
108	3β-(β-D-Glucopyranosyl)oxyeudesm-4(14)-en-11-ol	*S. conica*	[61]
109	Deltoiden A	*S. deltoidea*	[32]
110	Petrovin A	*S. petrovii*	[62]
111	11,12,13-Trihydroxy-4(15),7(8)-eudesmdien-9-one	*S. parviflora*	[4, 64]
112	8-*O*-Deacetylgerin	*S. cauloptera*	[2]
113	Gerin	*S. cauloptera*	[2]
114	7α-Hydroxygerin	*S. cauloptera*	[2]
115	Encelin	*S. cauloptera*	[2]
		S. parviflora	[4]
116	Eudesman-8β,12-olide 1-*O*-β-D-glucoside	*S. parviflora*	[4]
117	11βH-2α-Hydroxyeudesm-4(15)-en-12,8β-olide	*S. involucrata*	[59]
118	3β-(β-D-Glucopyranosyl)oxy-11αH-eudesm-4(14)-en-12,8β-olide	*S. conica*	[61]
119	α-Cyclocostunolide	*S. lappa*	[47, 49, 65]
120	Colartin	*S. lappa*	[27]
121	11β,13-Dihydroreynosin	*S. lappa*	[27]

Table 1 (continued)

No	Name	Source	Reference
122	13-Sulfodihydroreynosin	*S. lappa*	[66]
123	13-Sulfodihydrosantamarine	*S. lappa*	[66]
124	Saussureamine E	*S. lappa*	[34, 35]
125	Saussureamine D	*S. lappa*	[34, 35]
126	Arbusculin A	*S. lappa*	[27]
127	1β-Hydroxy arbusculin A	*S. lappa*	[67]
128	β-Costic acid	*S. lappa*	[49]
129	Reynosin	*S. lappa*	[27, 67]
130	Santamarin	*S. lappa*	[27, 60]
131	Arbusculin B	*S. lappa*	[65]
132	β-Cyclocostunolide	*S. lappa*	[38, 47, 49, 60, 68]
133	11β,13-Dihydrocostunolide	*S. lappa*	[27, 67–69]
134	Stizolicin	*S. elongata*	[70]
135	Dehydrocostuslactone	*S. lappa*	[27, 34, 68, 69, 71]
136	Picriside B	*S. lappa*	[35]
137	Deltoidealactone	*S. deltoidea*	[72]
138	Isodihydrocostunolide	*S. lappa*	[68]
139	Saussureamine A	*S. lappa*	[34, 35]
140	(+)-Germacrene A	*S. lappa*	[71]
141	Germacra-1(10),4,11(13)-trien-12-ol	*S. lappa*	[71]
142	Germacra-1(10),4,11(13)-trien-12-al	*S. lappa*	[71]
143	Germacra-1(10),4,11(13)-trien-12-oic acid	*S. lappa*	[71]
144	10α-Hydroxyartemisinic acid	*S. lappa*	[60]
145	(−)-Oplopan-4-one 10-α-*O*-β-D-glucoside	*S. triangulata*	[12]
		S. pulchella	[6]
146	7δ-Methoxy-4(14)-oppositen-1β-ol	*S. pulchella*	[6]
147	Saussureal	*S. lappa*	[47]
148	Elemacarmanin	*S. deltoidea*	[32]
149	Clovane-2β,9α-diol	*S. cordifolia*	[73]
		S. macrota	[63]
150	Caryolane-1,9β-diol	*S. cordifolia*	[73]
		S. macrota	[63]
151	4β-Methoxydehydrocostuslactone	*S. lappa*	[74]
152	Amarantholidoside II	*S. triangulata*	[12]
153	Amarantholidoside IV	*S. pulchella*	[6]
154	Amarantholidol A glycoside	*S. triangulata*	[12]

and found mainly in higher plants. In plants, they play important ecological roles in interactions with insects and microbes and act as attractants, deterrents, antifeedants and phytoalexins. Sesquiterpenes are metabolites produced mainly by the plants of the Compositae (Asteraceae) family although some of them originate from other angiosperm family such as Umbelliferae, Magnoliaceae, marine organisms, and even from fungi. Most of sesquiterpenes can be classified into four major groups according to their carbocyclic skeleton, namely as guaiane type (5,7-bicyclic compounds), eudesmane type (6,6-bicyclic compounds), germacrane type (10-membered ring), and elemane type (6-membered ring). Some sesquiterpenes display anti-tumor, anti-malarial and anti-inflammatory activities. A family of 154 sesquiterpenes have been found in the *Saussurea* genus, endowed with the above four skeletons and some others.

Guaianes

Guaianolides represent the most diverse class of sesquiterpenes within the Asteraceae family. Guaiane-type sesquiterpenes are the most characteristic class in the genus *Saussurea*; no less than 92 representatives have been isolated from the plants of this genus. Saurine **84** was first

isolated from *S. pulchella* in 1966 as a new substance [55, 56]. In this plant, Yang's group found nine new compounds **12**, **31–37**, **79** and four known substances **15**, **24**, **72**, **75** in 2008 [7]. In 1971, saupirine **69** was first isolated from the flowers of *S. neopulchella* [50]. In *S. lappa*, 21 compounds **2–4**, **13**, **28–30**, **38–41**, **51**, **52**, **57**, **62**, **65**, **69**, **71**, **72**, **85**, **86** were isolated from 1977 to 2010 in succession [5, 21, 22, 27, 34, 36–39, 44, 46–49, 74]. Among them, two compounds **3**, **4** have an unusual sulfonic acid group [5, 22]. Compounds **29–37** are sesquiterpene amino acid conjugates derived from conjugate addition of each amino group to α,β-unsaturated butanolide ring. In the years between 1978 and 1980, three new sesquiterpenes (**49**, **50**, **54**) were found in *S. elegans* [42, 43, 45]. Compound **70** was isolated from *S. salsa* by Sham'yanov group in 1981 [51]. Two years later, this group also found compounds **15**, **24**, **59**, **66**, **73**, **74** in *S. affinis* [30], and **73**, **74** were also isolated from *S. elegans*, *S. candicans* and *S. calcicola* [31, 40, 54]. In 1985, Bohlmann's group found 12 guaianolides **1**, **26**, **27**, **53–56**, **60**, **61**, **66–68** in *S. salicifolia* [18]; **56** also occurs in other plants including *S. candicans* and *S. lipschitzii* [40, 41]. Analysis of *S. candicans* in 1988 afforded several substances including **42–46**, **48**, **49**, **75**. Compounds **43–45** are new highly oxygenated guaianolides [40], and **46**, **48** have also been found in *S. alata*, *S. lipschitzii* [28, 33, 75]. Seventeen compounds **1**, **5**, **6**, **9–13**, **17–21**, **24**, **29**, **88**, **89** have been isolated from *S. involucrata* [11, 19, 23–25, 58]. Compound **1** also occurs in *S. medusa* [63], and **10** can be found in *S. lanicep* and *S. medusa* [8, 14, 20]. In 1991, **43**, **45** were isolated from *S. lipschitzii* by Todorova's group [41]. Compound **14** was isolated from *S. macrota* in the same year [29]. In 2005, Choi's group isolated **15**, **76**, **78** from *S. calcicola* [31] and Wang's group reported **7**, **8** from *S. laniceps* [26]. From *S. laniceps*, compounds **1**, **9**, **10**, **25** were obtained in 2007 and 2008 [8, 20]. Compounds **22**, **23**, **47**, **64**, **72** were isolated by Ren's group from *S. alata* in 2007 [33]. Compound **14** was also obtained from this plant [28]. From *S. deltoidea*, compounds **15**, **16**, **58**, **75**, **87**, **90** were isolated by Xiao's group in 2009 [52, 57] and by Xu's group in 2012 [32]. Compounds **73**, **75**, **77**, **79**, **83** were isolated from *S. katochaete* in 2012, of which **81–83** were new [53]. Two azulenoids **91**, **92** exist in *S. cauloptera* and *S. laniceps* [2, 9]. All these compounds are listed in Table 1 and activities of some compounds will be summarized in the following section.

Eudesmanes

In 1977, Govindan's group isolated compounds **119**, **128**, **132** from *S. lappa* [49]. From this plant, other 13 eudesmane-type compounds **94**, **99**, **120–126**, **129–131** were also isolated [27, 34, 60, 65, 66]. Among them, **124**, **125** and **129** have been found in *S. lappa* [35, 67]. Two new substances **103**, **110** were isolated from *S. petrovii* in 2001 [62]. In *S. parviflora*, **111**, **115**, **116** have been found [4, 64]. In 2004, four new eudesmane-type sesquiterpenes (**95**, **96**, **108**, **118**) and known compound **106** were isolated from *S. conica* [61]. Compound **105** was reported from *S. macrota* in same year [63]. Its diastereomer **107** was isolated from *S. pulchella* [6]. The next year, Wang's group isolated compound **97** from *S. laniceps* [26]. Compounds **93**, **98**, **101**, **117** were found in *S. involucrata* [11, 18, 59]. Compounds **100**, **102**, **103**, **112–114** were isolated from *S. cauloptera* in 2008 of which **112** and **114** were new [2]. In same year, compound **127** was found in *S. lappa* [67]. From *S. deltoidea*, the two compounds **104** and **109** were isolated [32, 57].

Germacranes

Eleven germacrane-type compounds have been obtained from this genus plants. In *S. lappa*, compounds **133**, **135**, **138–144** have been found [27, 34, 68, 69, 71]. Other examples are stizolicin **134** (from *S. elongata*), picriside B **136** (from *S. lappa*), and deltoidealactone **137** (from *S. deltoidea*) [35, 67, 70, 72].

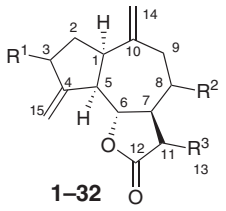
Other compounds

A cadinane sesquiterpene, 10α-hydroxyartemisinic acid **144** has been isolated from *S. lappa* [60]. A norsesquiterpene lactone **145** and other two analogs **146**, **147** have been isolated from *S. pulchella* and *S. lappa* [6, 12, 47]. One elemane derivative **148** has been found in *S. deltoidea* [32]. Additional tricyclic examples **149–151** are distributed in this genus [63, 73, 74]. Three acyclic compounds (**152–154**) have been obtained from *S. triangulata* and *S. pulchella* [6, 12].

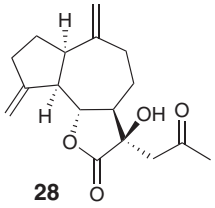
Triterpenes, sterols and cardenolides (Table 2)

Triterpenes

Forty-eight triterpenes have been obtained from the genus of *Saussurea*. β-Amyrin **155**, also called β-amyrenol, has been found in *S. cauloptera*, *S. deltoidea* and *S. albescens* [2, 52, 72, 76]. The acetate of β-amyrin **160** is distributed



1–32



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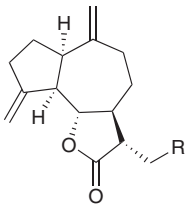
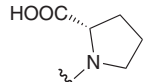
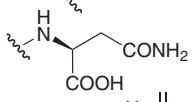
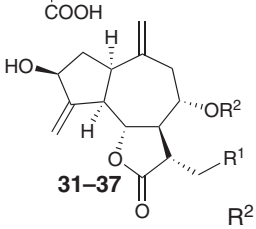
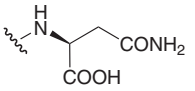
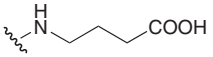
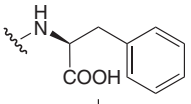
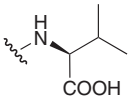
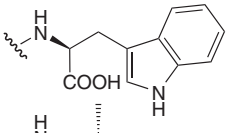
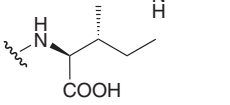
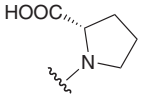


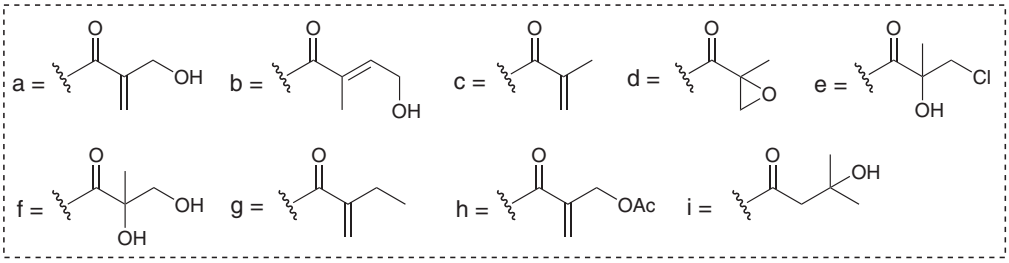
	R
29	
30	

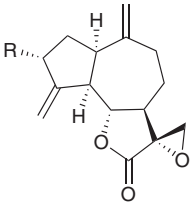


31–37

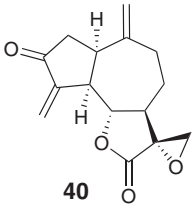
	R^1	R^2	R^3
1	H	H	α-Me
2	H	H	β-CH_2OMe
3	H	H	α-$CH_2SO_3^-$
4	H	H	β-$CH_2SO_3^-$
5	H	α-O(6'-*O*-Ac)Glc	α-Me
6	H	α-OGlc	α-Me
7	H	α-Oa	α-Me
8	H	α-Og	α-Me
9	H	α-OH	α-Me
10	α-OH	α-OH	α-Me
11	α-OH	α-OGlc	α-Me
12	β-OH	α-Of	α-Me
13	α-OH	H	α-Me
14	α-OH	H	α-Me
15	β-OH	α-OH	β-Me
16	β-OH	α-Oa	α-Me
17	α-OGlc	H	α-CH_2OH
18	β-OGlc	H	α-Me
19	β-OH	H	α-Me
20	β-OH	H	α-Me
21	β-OH	α-OH	β-Me
22	β-OH	α-OH	α-Me
23	β-OH	α-OH	β-CH_2OMe
24	β-OH	α-OGlc	α-CH_2OMe
25	α-OH	α-OGlc	α-Me
26	α-OH	Oa	β-Me
27	α-OH	Ob	β-Me
			β-Me

	R^1	R^2
31		H
32		H
33		H
34		H
35		H
36		H
37		f
		





	R
38	H
39	OH



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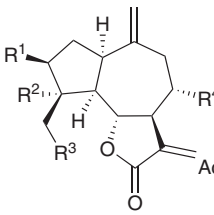
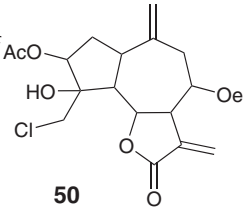
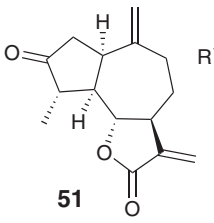


41–49



50

	R^1	R^2	R^3	R^4
41	H	H	OH	H
42	OH	OH	OH	Oc
43	OH	OH	OH	Oa
44	OH	OH	OMe	Oa
45	OH	OH	OAc	Oa
46	OH	OH	Cl	Oe
47	OH	OH	Cl	Of
48	OH	OH	Cl	Oa
49	OH	OH	Cl	Oc



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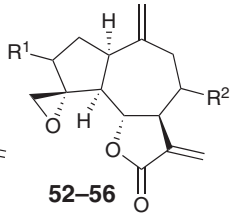
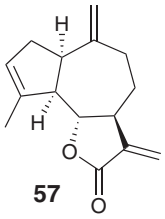
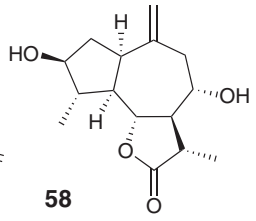


52–56

	R^1	R^2
52	OH	H
53	β-OH	α-OH
54	β-OH	α-Oc
55	β-OH	Oc
56	β-OH	Oa



57



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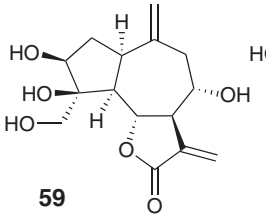

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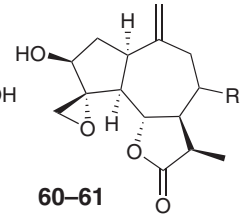
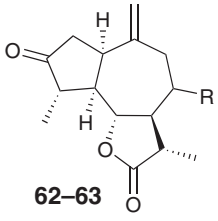
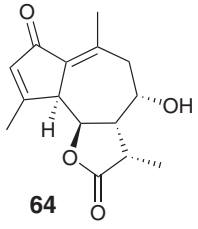


60–61



62–63

	R
60	α–Ob
61	α–Oa
62	H
63	β–OH



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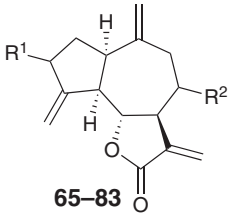
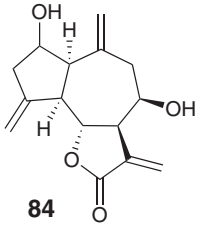


65–83



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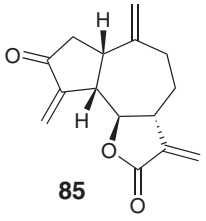
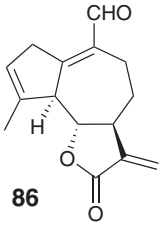


85

	R^1	R^2
65	H	H
66	H	α-OH
67	H	α-OAc
68	H	α-OCOEt
69	OH	Oa
70	α-OH	H
71	β-OH	H
72	β-OH	α-OH
73	β-OH	α-Oc
74	β-OH	α-OCO^{i}Pr
75	β-OH	α-Oa
76	β-OH	β-Od
77	β-OAc	α-Ob
78	β-OH	β-OH
79	β-OAc	α-Oi
80	β-Oh	α-Oh
81	β-Oh	α-OH
82	β-Oh	α-Oa
83		α-Oh



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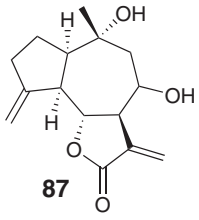

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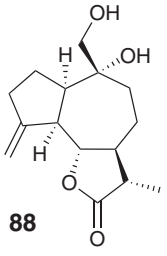

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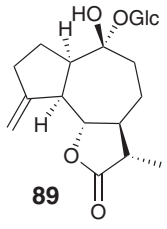

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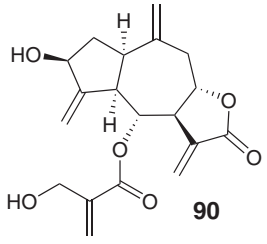

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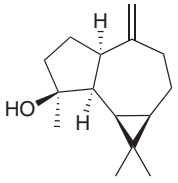

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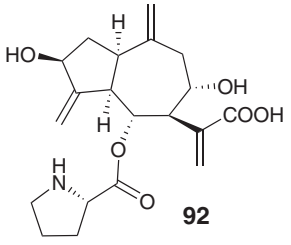

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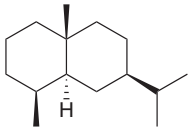

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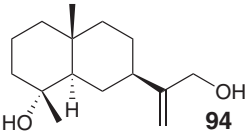
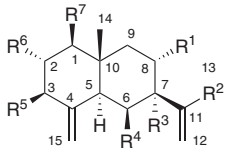
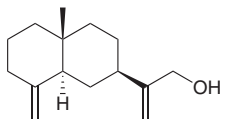


94

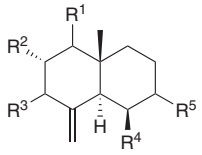


95–102

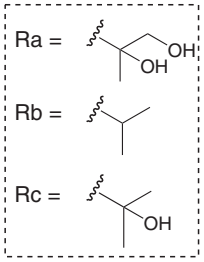
	R^1	R^2	R^3	R^4	R^5	R^6	R^7
95	H	CH_2OH	H	H	OH	H	H
96	H	CH_2OH	H	H	OGlc	H	H
97	H	CH_2OH	OH	H	H	OH	H
98	H	COOH	H	OGlc	H	H	H
99	H	COOEt	H	OH	H	H	OH
100	H	CH_2OH	OH	H	H	H	H
101	OH	CH_2OH	OH	H	H	H	H
102	H	COOH	H	H	H	H	H



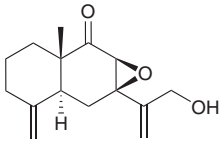
103



	R^1	R^2	R^3	R^4	R^5
104	H	H	H	H	Ra
105	α–OH	H	H	OH	α–Rb
106	H	H	α–OH	H	α–Rc
107	β–OH	H	H	OH	β–Rb
108	H	H	β–OGlc	H	β–Rc
109	H	OH	H	H	α–Ra



104–109



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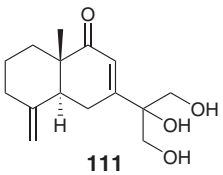

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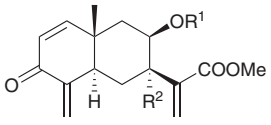
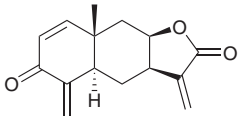


112–114

	R^1	R^2
112	H	H
113	Ac	H
114	Ac	OH



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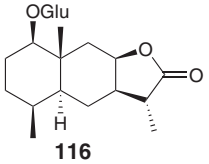

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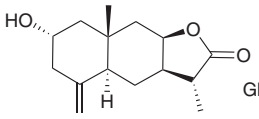

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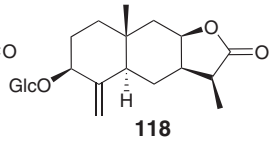

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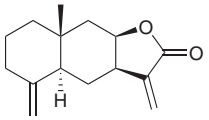

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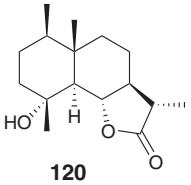

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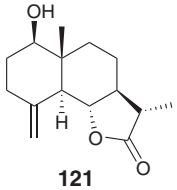

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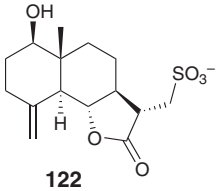

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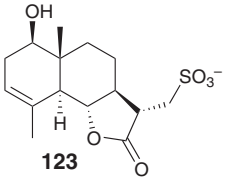

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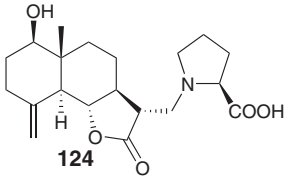

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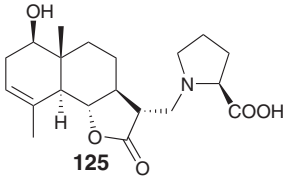

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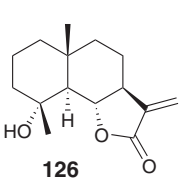

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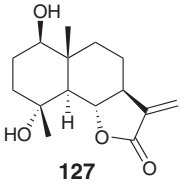

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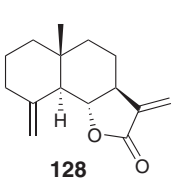

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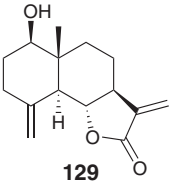

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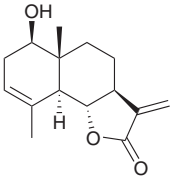

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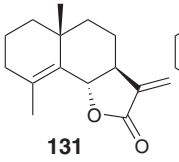

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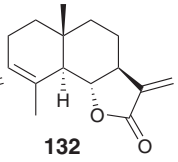

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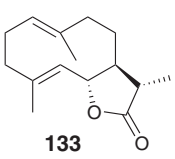

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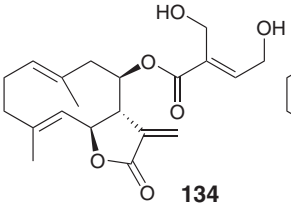

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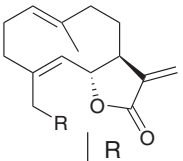
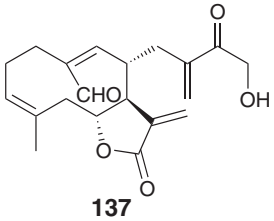


	R
135	H
136	OGlc



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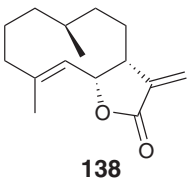

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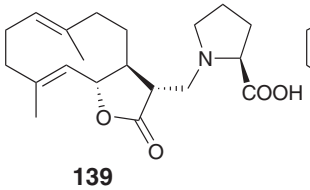

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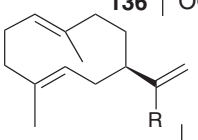
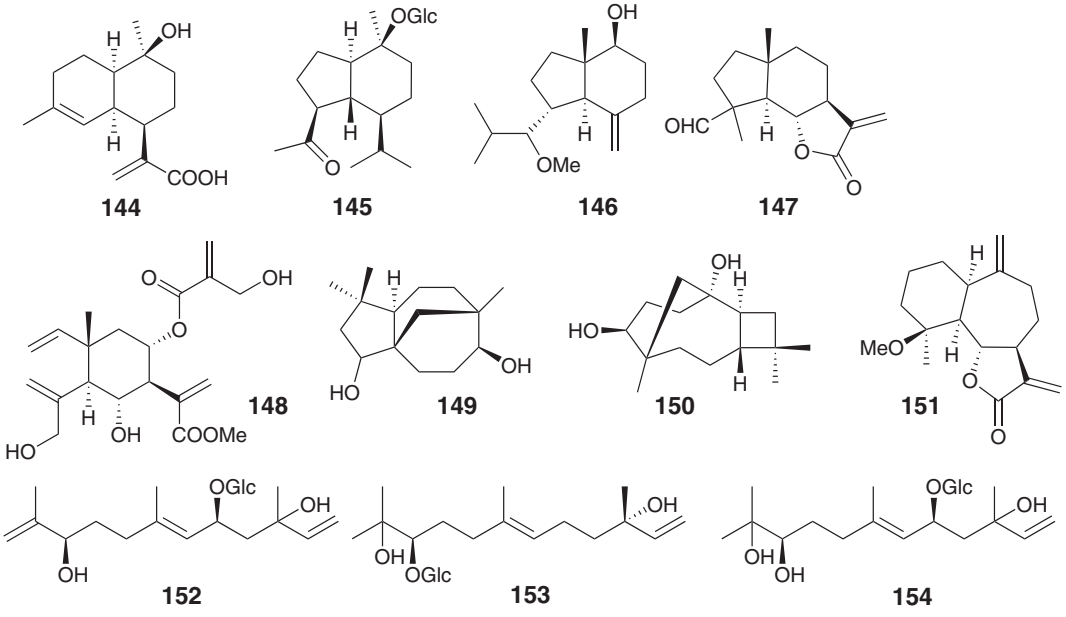


	R
140	Me
141	CH_2OH
142	CHO
143	COOH



in *S. albescens* [2] and the correponding palmitate **161** has been obtained from *S. lappa* [83]. In *S. lappa*, Pai's, Yang's, and Robinson's groups have found α-amyrin **158**, α-amyrin stearate **162**, α-amyrin eicosanoate **163**, 3β-hydroxytaraxast-20-en-22-one **185**, lupeol **198**, lupeol palmitate **200** and 3β-hydroxy-30-norlupan-20-one **202** [38, 82, 83]. In 2012, Hu's group researched a 70% EtOH extract of *S. graminea* and isolated seven taraxerane triterpenes **186–192**. Among them, **190–192** were new [17]. Six known compounds **157**, **176**, **178**, **181**, **197**, **201** were found in *S. deltoidea* [52, 72]. Six new oleanane-type triterpenes (**159**, **170–172**, **165**, **166**) were isolated from the flowers and roots of *S. muliensis* in 2008. These compounds are inactive against *Escherichia coli*, *Bacillus cereus*, *Staphylococcus aureus*, *Bacillus cereus* and *Candida albicans* [10]. Dai's group isolated eight taraxastane triterpenes **167–169**, **176**, **177**, **180**, **195** from *S. petrovii* in 2001, among them, compounds **169**, **177**, **195** were new and **169** and **195** had significant anti-tumor and antibacterial activity [13, 86]. Compound **174** was found in *S. parviflora* [4]. Three novel triterpenes **173**, **175**, **179** and five known triterpenes **164**, **180**, **182**, **184**, **202** were obtained from the whole plant of *S. ussuriensis* in 2008 [84]. Other five examples **183**, **194**, **196**, **197**, **199** were isolated from *S. cauloptera* [2], *S. oligantha* [85], *S. lappa* [67] and *S. superba* [81].

Sterols

Thirty-seven sterols have been isolated from this genus. β-Sitosterol **210**, its three glycosides **215–217** and two hydroxy products **213**, **214** have been obtained [2, 4, 20, 25, 52, 59, 60, 73, 80, 92, 93]. Stigmasterol **211** and its derivatives **208**, **209**, **212**, **226–229** have been found in *S. deltoidea*, *S. ussuriensis*, *S. muliensis*, *S. cauloptera*, *S. deltoidea*, *S. gossypiphora* and *S. ussuriensis* [2, 10, 72, 90, 91]. Other examples include oliganthas A **203** (from *S. oligantha*) [85], protopanaxanone di-*O*-arabinoside **204** (from *S. heteromalla*) [88], dihydroprotopanaxadiol di-*O*-arabinonoside **205**, 24-methylene-9,19-cyclolanostan-3-ol **206** (from *S. muliensis*) [10], lappalanasterol **207** (from *S. lappa*) [89, 93], 22-dihydrospinasterol **218** (from *S. cauloptera*) [2], α-spinasterol **219**, α-spinasterol 3-*O*-β-D-glucopyranoside **220** (from *S. nutans*) [80], ergosta-6,22-diene-3β,5α,8α-triol **221** (from *S. ussuriensis*) [91], ergostane-3,24-diol **222** (from *S. gossypiphora*) [90], pregnenolone **223**, 3-epi-lappasterol **224** and lappasterol **225**.

Cardenolides

In 2007, 10 cardenolides **230–239** were obtained from a cytotoxic ethanol extract of the whole dried plants of *S. stella*. Among them, **231**, **237**, **239** are new compounds [94].

Monoterpenes, diterpene and norterpenes (Table 3)

Three monoterpenes **243–245** have been found in *S. Cordifolia* [73]. Other three monoterpenes **240–242** have

Table 2 Triterpenes isolated from *Saussurea* genus.

No	Name	Source	Reference
155	β-Amyrin	*S. cauloptera*	[2]
	β-Amyrenol	*S. deltoidea*	[52, 72]
		S. laniceps	[20]
		S. albescens	[76]
		S. elegans	[77]
		S. pricei	[78]
		S. sacra	[79]
156	β-Ursolic acid	*S. cordifolia*	[73]
157	Olesanolic acid	*S. deltoidea*	[72]
		S. nutans	[80]
158	α-Amyrin	*S. cauloptera*	[2]
		S. superba	[81]
		S. lappa	[82]
		S. pricei	[78]
159	3β,22α-Dihydroxyolean-12-en-30-oic acid	*S. muliensis*	[10]
160	β-Amyrin acetate	*S. albescens*	[76]
161	β-Amyrin palmitate	*S. lappa*	[83]
162	α-Amyrin stearate	*S. lappa*	[83]
163	α-Amyrin eicosanoate	*S. lappa*	[38]
164	11β-Hydroxy-urs-12-en-3β-yl palmitate	*S. ussuriensis*	[84]
165	3α-(*E*)-Coumaroyloxyolean-12-en-30-oic acid	*S. muliensis*	[10]
166	3α-(*E*)-Caffeoyloxyolean-12-en-30-oic acid	*S. muliensis*	[10]
167	Taraxastane-3β,20α-diol	*S. oligantha*	[85]
		S. petrovii	[13]
168	Taraxast-20-ene-3β-ol	*S. petrovii*	[13]
169	3β,30-Dihydroxytaraxast-20-ene	*S. petrovii*	[86]
		S. macrota	[63]
		S. oligantha	[85]
		S. petrovii	[13]
170	3α,22α-Diacetoxy-20β,21α,29-trihydroxy-30-norolean-12-ene	*S. muliensis*	[10]
171	3α,22α-Diacetoxy-21α,29-dihydroxy-20-methoxy-30-norolean-12-ene	*S. muliensis*	[10]
172	3α,22α-Diacetoxy-20β,21α-dihydroxy-29-palmityloxy-30-norolean-12-ene	*S. muliensis*	[10]
173	1β-Hydroxy-oleana-9(11),12-dien-3β-yl palmitate Ussuriensin B	*S. ussuriensis*	[84]
174	1β,3β-Dihydroxyursa-9(11),12-diene-3-octadecanoate	*S. parviflora*	[4]
175	1β-Hydroxy-ursa-9(11), 12-dien-3β-yl palmitate Ussuriensin A	*S. ussuriensis*	[84]
176	Taraxasterol	*S. cauloptera*	[2]
	Taraxast-20(30)-en-3β-ol	*S. nutans*	[80]
		S. deltoidea	[52, 72]
		S. petrovii	[13]
177	3β,21β-Dihydroxyltaraxast-20(30)-ene	*S. petrovii*	[86]
178	Taraxasteryl acetate	*S. deltoidea*	[72]
		S. deltoidea	[52]
179	28-Hydroxytaraxast-20(30)-en-3β-yl palmitate Ussuriensin C	*S. ussuriensis*	[84]
180	Taraxast-20(30)-ene-3β, 21α-diol	*S. ussuriensis*	[84]
		S. cauloptera	[2]
		S. petrovii	[13]
		S. oligantha	[85]
181	Taraxasterone	*S. deltoidea*	[52]
182	Ursa-9(11),12-dien-3-one Marsformosanone	*S. ussuriensis*	[84]
183	3β-Hydroxy-urs-12-en-11-one	*S. superba*	[81]
184	11α-Hydroxyurs-12-en-3-one	*S. ussuriensis*	[84]
185	3β-Hydroxytaraxast-20-en-22-one	*S. oligantha*	[85]
		S. lappa	[38]

Table 2 (continued)

No	Name	Source	Reference
186	11α,12α-Oxidotaraxeran-3-one	*S. graminea*	[17]
		S. japonica	[87]
187	28-Hydroxy-11α,12α-oxidotaraxeran-3-one	*S. graminea*	[17]
188	3β,28-Dihydroxy-11α,12α-oxidotaraxerane	*S. graminea*	[17]
189	3β-Hydroxy-11α,12α-oxidotaraxerane	*S. graminea*	[17]
190	1β,3β-Dihydroxy-11α,12α-oxidotaraxerane	*S. graminea*	[17]
191	3β-Acetoxy-11α,12α-oxidotaraxeran-28-al	*S. graminea*	[17]
192	3β-Hydroxy-11α,12α-oxidotaraxeran-28-al	*S. graminea*	[17]
193	Ptiloepoxide	*S. oligantha*	[85]
		S. cauloptera	[2]
194	20α,21α-Epoxytaraxastan-3β-ol	*S. cauloptera*	[2]
195	20α,21α-Epoxytaraxastane-3β,22α-diol	*S. petrovii*	[13]
196	Oliganthas B	*S. oligantha*	[85]
197	β-Sitostenonebetulonic acid	*S. deltoidea*	[72]
198	Lupeol	*S. lappa*	[38]
		S. superba	[81]
		S. deltoidea	[52, 72]
		S. parviflora	[4]
199	Betulinic acid methyl ester	*S. lappa*	[67]
200	Lupeol palmitate	*S. lappa*	[83]
201	Lupenone	*S. deltoidea*	[52]
202	3β-Hydroxy-30-norlupan-20-one	*S. ussuriensis*	[84]
		S. lappa	[38]
203	Oliganthas A	*S. oligantha*	[85]
204	Protopanaxanone di-*O*-arabinoside	*S. heteromalla*	[88]
205	Dihydroprotopanaxadiol di-*O*-arabinonoside	*S. heteromalla*	[88]
206	24-Methylene-9,19-cyclolanostan-3-ol	*S. muliensis*	[10]
207	Lappalanasterol	*S. lappa*	[89]
208	5α-Stigmast-7-en-3β-ol	*S. gossypiphora*	[90]
209	Stigmast-5-ene-3β,7α,22-triol	*S. ussuriensis*	[91]
210	β-Sitosterol	*S. cauloptera*	[2]
		S. parviflora	[4]
		S. nutans	[80]
		S. involucrata	[25, 59, 92]
		S. cordifolia	[73]
		S. lappa	[60, 93]
		S. laniceps	[20]
		S. deltoidea	[52]
211	Stigmasterol	*S. deltoidea*	[72]
		S. ussuriensis	[91]
		S. muliensis	[10]
212	Stigmast-5-ene-3β,7α-diol	*S. cauloptera*	[2]
213	7α-Hydroxysitosterol	*S. ussuriensis*	[91]
214	7β-Hydroxysitosterol	*S. ussuriensis*	[91]
215	β-Sitosterol 3-*O*-β-D-glucopyranoside	*S. nutans*	[80]
	Daucosterol	*S. parviflora*	[4]
	Daucosterin	*S. cordifolia*	[73]
		S. lappa	[60, 89, 93]
		S. involucrata	[25, 59]
		S. laniceps	[63]
		S. deltoidea	[52]
		S. cauloptera	[2]
216	3-*O*-(6′-*O*-Linoleoyl-β-D-glucosyl)-β-sitosterol	*S. involucrata*	[59]
217	3-*O*-(6′-*O*-Palmitoyl-β-D-glucosyl)-β-sitosterol	*S. involucrata*	[59]
218	22-Dihydrospinasterol	*S. cauloptera*	[2]
219	α-Spinasterol	*S. nutans*	[80]
220	α-Spinasterol 3-*O*-β-D-glucopyranoside	*S. nutans*	[80]
221	Ergosta-6,22-diene-3β,5α,8α-triol	*S. ussuriensis*	[91]

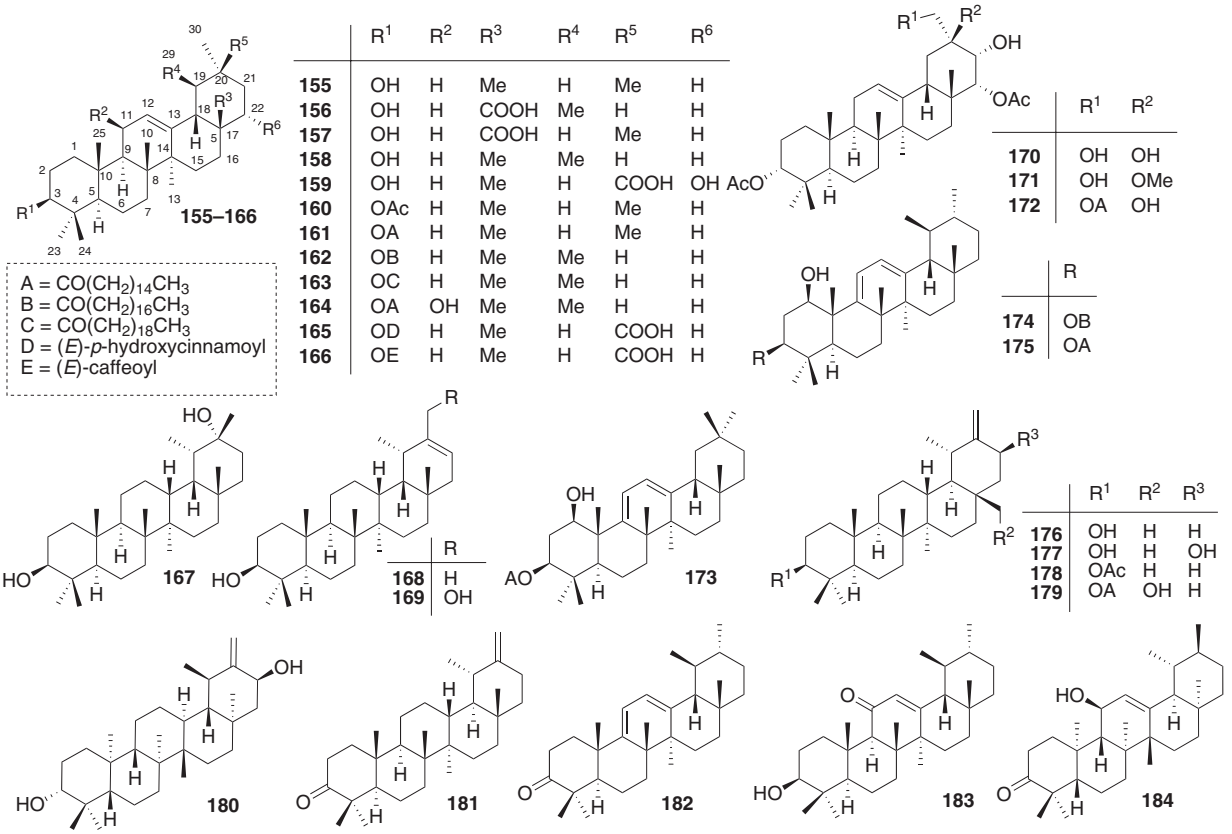
Table 2 (continued)

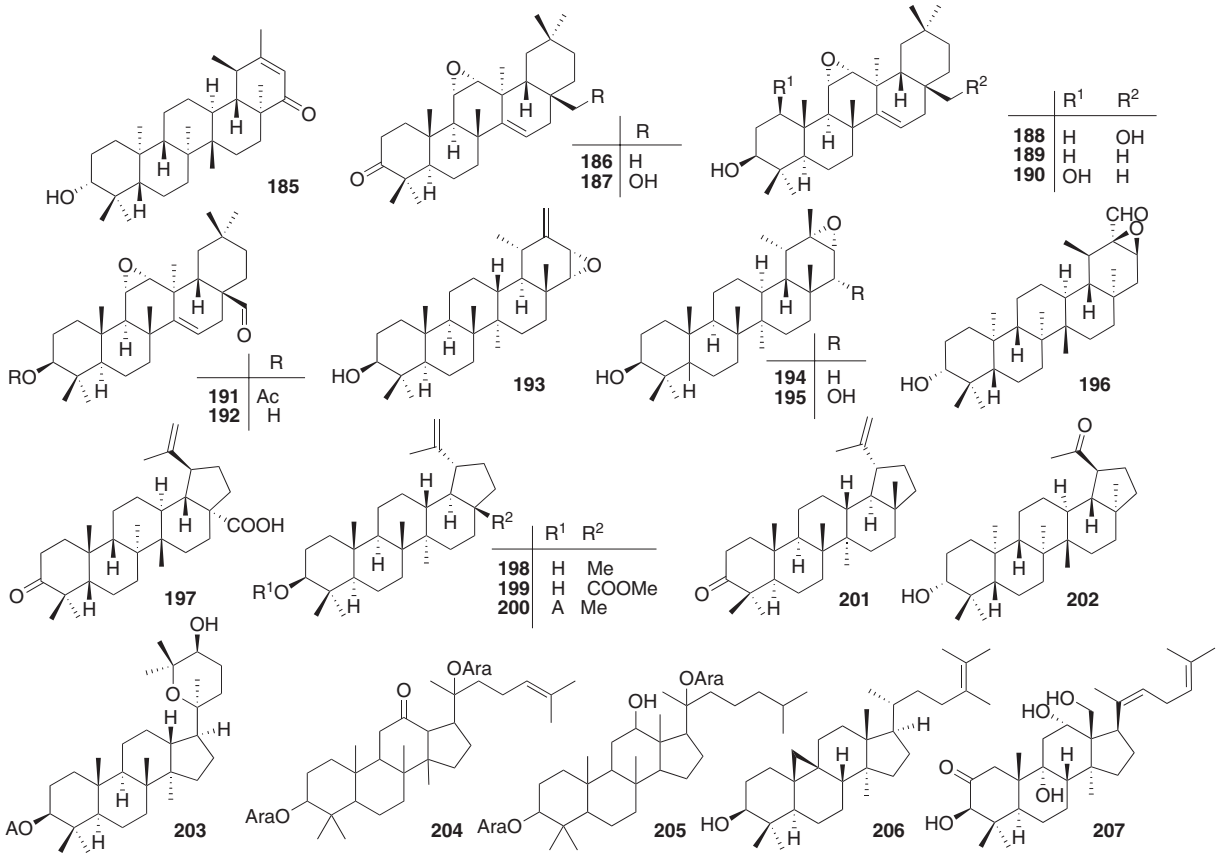
No	Name	Source	Reference
222	Ergostane-3,24-diol	*S. gossypiphora*	[90]
223	Pregnenolone	*S. lappa*	[93]
224	3-Epi-lappasterol	*S. lappa*	[89]
225	Lappasterol	*S. lappa*	[89]
226	6β-Hydroxystigmast-4-en-3-one	*S. ussuriensis*	[91]
227	3β-Hydroxystigmast-5-en-7-one	*S. ussuriensis*	[91]
228	Stigmasta-4,22-dien-3-one	*S. deltoidea*	[72]
229	Stigmast-4-en-3-one	*S. cauloptera*	[2]
		S. superba	[81]
230	3-*O*-α-L-Rhamnopyranosylcannogenol	*S. stella*	[94]
231	3-*O*-α-L-Rhamnopyranosylacovenosigenin A	*S. stella*	[94]
232	3-*O*-β-D-Quinovopyranosylperiplogenin	*S. stella*	[94]
233	3-*O*-β-D-Fucopyranosylperiplogenin	*S. stella*	[94]
234	3-*O*-β-D-Xylopyranosylperiplogenin	*S. stella*	[94]
235	3-*O*-α-L-Rhamnopyranosylstrophanthidin Convallatoxin	*S. stella*	[94]
236	3-*O*-β-D-Xylopyranosylstrophanthidin	*S. stella*	[94]
237	3-*O*-β-D-Fucopyranosylstrophanthidin	*S. stella*	[94]
238	3-*O*-β-D-Quinovopyranosylstrophanthidin	*S. stella*	[94]
239	3-*O*-β-D-Glucopyranosyl-(1→4)-α-L-rhamnopyranosylcannogenin	*S. stella*	[94]

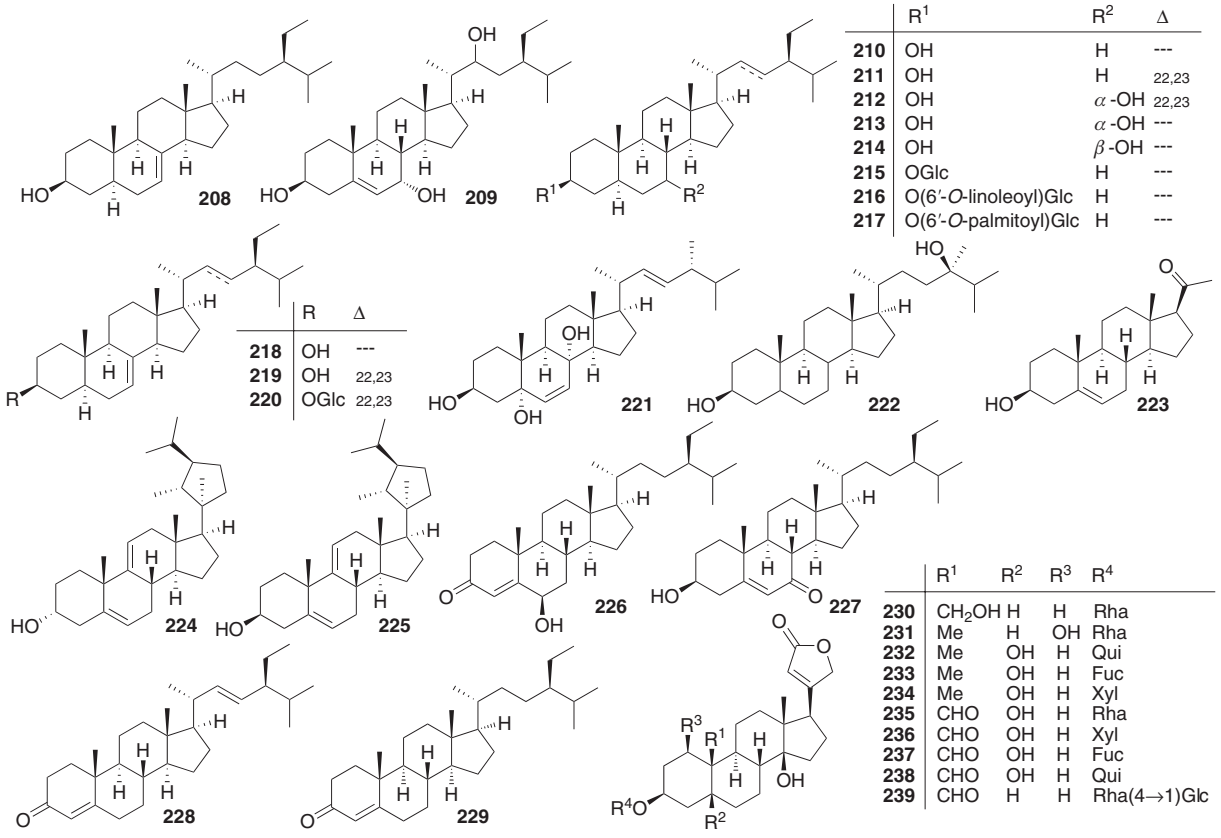
been found in *S. sacra*, *S. pulchella*, *S. pulchella* [6, 79]. Only one diterpene **248** has been isolated from *S. cauloptera* [2]. Eight nor-sesquiterpenes, ionone-derivatives **249–256**, have also been isolated [6, 14, 16, 20, 73].

Flavonoids (Table 4)

Thirty-nine flavonoids have been isolated from the plants of *Saussurea* genus. Compounds **267** and **286**




185
186 R = H
187 R = OH
188 R1 = H R2 = OH
189 R1 = H R2 = H
190 R1 = OH R2 = H
191 R = Ac
192 R = H
193
194 R = H
195 R = OH
196
197
198 R1 = H R2 = Me
199 R1 = H R2 = COOMe
200 R1 = A R2 = Me
201
202
203
204
205
206
207


208
209
210 R1 = OH R2 = H Δ = ---
211 R1 = OH R2 = H Δ = 22,23
212 R1 = OH R2 = α-OH Δ = 22,23
213 R1 = OH R2 = α-OH Δ = ---
214 R1 = OH R2 = β-OH Δ = ---
215 R1 = OGlc R2 = H Δ = ---
216 R1 = O(6′-O-linoleoyl)Glc R2 = H Δ = ---
217 R1 = O(6′-O-palmitoyl)Glc R2 = H Δ = ---
218 R = OH Δ = ---
219 R = OH Δ = 22,23
220 R = OGlc Δ = 22,23
221
222
223
224
225
226
227
228
229
230 R1 = CH2OH R2 = H R3 = H R4 = Rha
231 R1 = Me R2 = H R3 = OH R4 = Rha
232 R1 = Me R2 = OH R3 = H R4 = Qui
233 R1 = Me R2 = OH R3 = H R4 = Fuc
234 R1 = Me R2 = OH R3 = H R4 = Xyl
235 R1 = CHO R2 = OH R3 = H R4 = Rha
236 R1 = CHO R2 = OH R3 = H R4 = Xyl
237 R1 = CHO R2 = OH R3 = H R4 = Fuc
238 R1 = CHO R2 = OH R3 = H R4 = Qui
239 R1 = CHO R2 = H R3 = H R4 = Rha(4→1)Glc

were isolated in 2009 from *S. involucrata* [25]. Four new acylated flavone glycosides **289–292** together with the known isoflavone **293** were isolated from the roots of *S. lappa* [3]. Compounds **265**, **274**, **280** and **295** are distributed in the plant *S. laniceps* [8, 16, 20]. A new flavone glucoside **270** and 15 known compounds **257**, **259–262**, **264**, **266**, **269**, **273**, **277–281**, **284** were obtained from *S. medusa* [16, 95–97]. In 2013, **258**, **273–276**, **279**, **281**, **284–286** were isolated from an ethanol extract of *S. stella* [3]. Compounds **263** (from *S. gossypiphora*) [90], **268** (from *S. elegans*) [77], **271**, **272** (from *S. triangulata*) [12], **282** (from *S. parviflora*) [4], **283** (from *S. superba*) [81], **287** (from *S. graminea*) [99], and **288** (from *S. pulchella*) [100], were also obtained. Compounds **257–279**, **289** are flavones, **281** is a flavonol, **280**, **283–286**, **295** are flavonol glycosides, **293** is an isoflavone and **288** is an anthocyanidin glycoside.

Coumarins, lignans and phenylpropanoids (Table 5)

Eight coumarins **294–301** have been obtained from this genus. Among them, compound **295** is a glycoside and **303** is a furocoumarin [4, 20, 53, 63, 70, 73, 81, 96, 101]. Total 51 lignans were isolated from this genus. In 2006, three new lignan derivatives, conicaols A, B and conicaoside (**322**, **307**, **338**) and six known compounds **308**, **315–318**, **323** were isolated from *S. conica* by Fan's group. Among them, compounds **308**, **312–323** are dibenzyltyrolactone lignans, **322** is an oligomeric lignan and **338** is a tetrahydrofuran lignan [75]. Matairesinol **314** is distributed in *S. medusa*, *S. salicifolia* and *S. macrota* [14, 18, 63]. In 2002 and 2003, its glycoside **318** was found in *S. conica* and *S. parviflora* [4, 75]. Six lignans compounds **305**, **310**, **311**, **326**, **360**, **361** have been isolated from the methanol extract of the whole plant of *S. macrota* [63]. A tetrahydrofuran lignan **340** and four phenylpropanoids **349**, **350**, **365**, **366** have been obtained from *S. cordifolia* [73]. Two new lignans, deltoignan A **340** and deltoignan B **312**, toghther with four known lignans **324**, **333**, **351**, **352** have been found in *S. deltoidea* by Xu's group and Huang's group [32, 57]. In 1991, Zheng's group isolated two dibenzyltyrolactone lignans **309**, **317** from the aerial parts of *S. gossypiphora*. 2-Hydroxylappaol B **309** is a new compound [90]. Three new lignans **319–321** and two known substances **348**, **368** have been obtained from the seeds of *S. involucrate* [59, 92]. Two compounds **325**, **343** have been found in *S. lappa* [34, 93]. In 2013, an investigation on *S. stella* by Wang's group afforded two new lignans **357**, **358** together with six known compounds **328**, **330**, **332**, **346**, **368**, **369** [3]. Seven known compounds **324**, **326**, **331**, **345**, **347**, **363**, **364** were affored by Yang's group in 2007 [6]. Three compounds **343**, **344**, **359** were found in *S. lappa* [35]. Two new compounds lanicepsides A and B (**337**, **336**) with epipinoresinol **335** were obtained from *S. laniceps* by Zhou's group in 2007 [8]. In *S. japonica*, only one lignan is saussurenoside **306** [87] and compound **315** has been isolated from *S. parviflora* [4]. In 2002, two new lignans **333**, **334** together with five known compounds **303**, **327**, **331**, **339**, **341** were obtained from the methanol extract of *S. medusa* Maxim by Duan's group [14]. From this plant, Fan's group isolated two new lignan glucosides, medusaside A **302** and B **342**, and other known compounds **304**, **341** in 2003 [97]. Xie's group isolated seven lignans **328**, **330**, **331**, **303**, **370–372** in 2005 [16]. From *S. graminea*, a new compound **362** was isolated in 2013 [99].

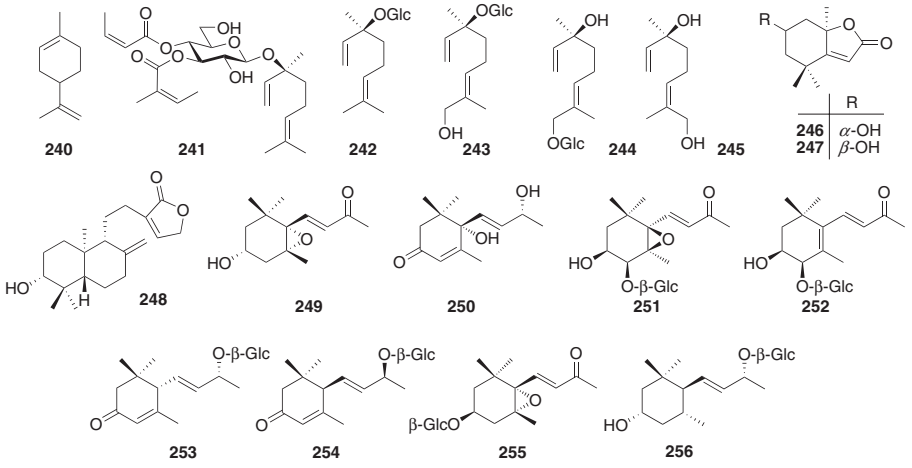


Table 3 Monoterpenes, diterpene and other nortepenes isolated from *Saussurea* genus.

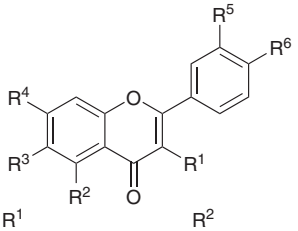
No	Name	Source	Reference
240	Limonene	*S. sacra*	[79]
241	(3*S*)-3-*O*-(3′,4′-Diangeloyl-β-D-glucopyranosyloxy)-3,7-trimethylocta-1,6-diene	*S. pulchella*	[6]
242	Linalool *O*-β-D-glucoside	*S. pulchella*	[6]
243	(6*E*)-8-Hydroxylinalool 3-*O*-β-D-glucopyranoside	*S. cordifolia*	[73]
244	Betulalbuside A	*S. cordifolia*	[73]
245	8-Hydroxylinalool	*S. cordifolia*	[73]
246	Loliolide	*S. medusa*	[14]
		S. deltoidea	[57]
247	7-Epi-loliolide	*S. deltoidea*	[57]
248	3α-Hydroxy-ent-labda-8(20),13-dien-16,15-olide	*S. cauloptera*	[2]
249	3α-Hydroxy-5,6-epoxy-7-megastigmen-9-one	*S. pulchella*	[6]
		S. medusa	[14]
		S. laniceps	[20]
250	Vomifoliol	*S. cordifolia*	[73]
251	Saussureoside A	*S. medusa*	[16]
252	Saussureoside B	*S. medusa*	[16]
253	(6*R*,9*R*)-3-Oxo-α-ionol β-D-glucoside	*S. cordifolia*	[73]
254	Byzantionoside B	*S. cordifolia*	[73]
255	Icariside B2	*S. cordifolia*	[73]
256	Sedumoside F1	*S. cordifolia*	[73]

Table 4 Flavonoids isolated from *Saussurea* genus.

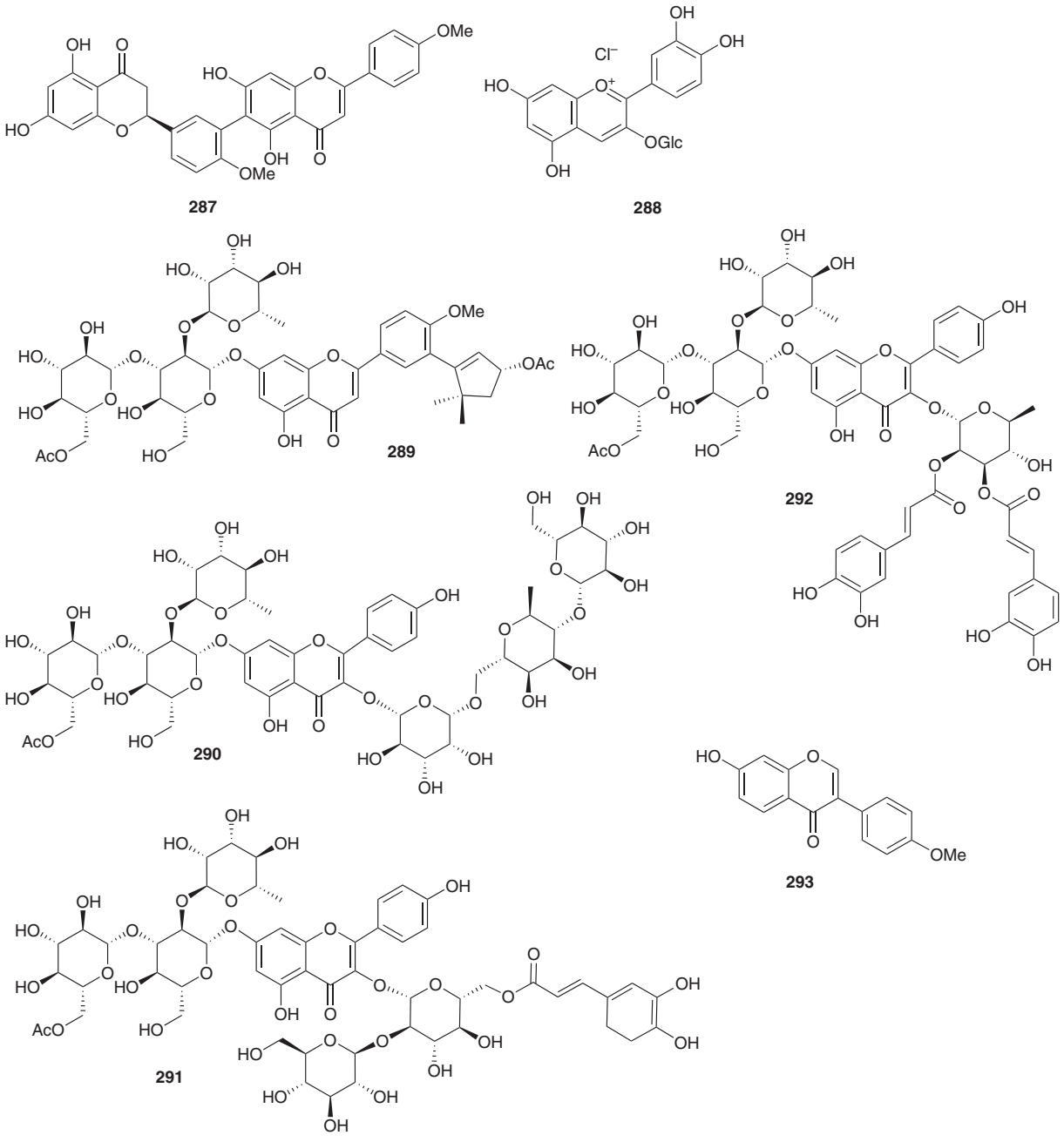
No	Name	Source	Reference
257	Chrysoeriol 7-*O*-β-D-glucopyranoside	*S. medusa*	[16, 95]
		S. gossypiphora	[90]
258	Acacetin 7-*O*-β-D-glucoside	*S. stella*	[3]
259	Luteolin 7-*O*-β-D-glucopyranoside	*S. medusa*	[16, 95]
260	Apgenin 7-*O*-rutinoside	*S. medusa*	[16, 96]
261	Luteolin 7-*O*-rutinoside	*S. medusa*	[16]
262	Chrysoeriol 7-*O*-rutinoside	*S. medusa*	[16]
263	Apigenin 7-*O*-β-D-neohesperidoside	*S. gossypiphora*	[90]
264	Apigenin 7-*O*-α-L-rhamnopyranosyl-(1→6)-β-D-glucopyranoside	*S. medusa*	[97]
265	5,6,7-Trihydroxy-4′-methoxyflavone	*S. laniceps*	[8]
266	Hisipdulin	*S. medusa*	[96]
		S. involucrata	[25]
		S. elegans	[77]
267	Jaceosidin	*S. involucrata*	[25]
268	Pectolinarigenin	*S. elegans*	[77]
269	Homoplantaginin	*S. medusa*	[96]
270	6″-*O*-Crotonoylhomoplantaginin	*S. medusa*	[96]
271	7-*O*-Methylapigenin 5-*O*-α-D-xylopyranosyl-(1→6)-β -D-glucopyranoside	*S. triangulata*	[12]
272	7,4′-Di-*O*-methyl-apigenin 5-*O*-α-D-xylopyranosyl-(1→6)-β -D-glucopyranoside	*S. triangulata*	[12]
273	Apigenin	*S. medusa*	[16, 96, 97]
		S. deltoidea	[32]
		S. gossypiphora	[90]
		S. stella	[3]
274	Acacetin	*S. laniceps*	[20]
		S. stella	[3]
275	Diosmetin 3′-*O*-β-D-glucoside	*S. stella*	[3]
276	Apigenin 4′-*O*-β-D-glucoside	*S. stella*	[3]
277	Luteolin	*S. medusa*	[16, 96, 97]
		S. superba	[81]
278	Luteolin 4-*O*-β-D-glucopyranoside	*S. medusa*	[16]

Table 4 (continued)

No	Name	Source	Reference
279	Apigenin 7-*O*-β-D-glucopyranoside	*S. medusa*	[16, 95, 96]
		S. gossypiphora	[90]
		S. stella	[3]
280	Isorhamnetin 3-*O*-rutinoside	*S. laniceps*	[16]
281	Quercetin	*S. laniceps*	[16]
		S. medusa	[95–97]
282	Penduletin	*S. parviflora*	[4]
283	Quercetin 3-*O*-β-D-glucopyranoside	*S. laniceps*	[16]
		S. superba	[81]
		S. medusa	[97, 98]
284	Rutin	*S. pulchella*	[6]
285	Kaempferol 3-*O*-α-L-rhamnoside	*S. stella*	[2]
286	Quercetin 3-*O*-α-L-rhamnoside	*S. involucrata*	[25]
		S. stella	[3]
287	5,7-Dihydroxy-4′-methoxyflavanone(3′→6)-5,7-dihydroxy-4′-methoxyflavone	*S. graminea*	[99]
288	Cyanidin 3-*O*-β-D-glucoside	*S. pulchella*	[100]
289	KSR1	*S. lappa*	[98]
290	KSR2	*S. lappa*	[98]
291	KSR3	*S. lappa*	[98]
292	KSR4	*S. lappa*	[98]
293	Formononetin	*S. stella*	[3]



	R^1	R^2	R^3	R^4	R^5	R^6
257	H	OH	H	OGlc	OMe	OH
258	H	OH	H	OGlc	H	OMe
259	H	OH	H	OGlc	OH	OH
260	H	OH	H	ORut	H	OH
261	H	OH	H	ORut	OH	OH
262	H	OH	H	ORut	OMe	OH
263	H	OH	H	O-neohesperidoside	H	OH
264	H	OH	H	ORha(1→6)Glc	H	OH
265	H	OH	OH	OH	H	OMe
266	H	OH	OMe	OH	H	OH
267	H	OH	OMe	OH	OMe	OH
268	H	OH	OMe	OH	H	OMe
269	H	OH	OMe	OGlc	H	OH
270	H	OH	OMe	O(6″-*O*-crotonoyl)Glc	H	OMe
271	H	O(Xyl)Glc	H	OMe	H	OH
272	H	O(Xyl)Glc	H	OMe	H	OMe
273	H	OH	H	OH	H	OH
274	H	OH	H	OH	H	OMe
275	H	OH	H	OH	OGlc	OMe
276	H	OH	H	OH	H	OGlc
277	H	OH	H	OH	OH	OH
278	H	OH	H	OH	OH	OGlc
279	H	OH	H	OGlc	H	OH
280	ORut	OH	H	OH	OMe	OH
281	OH	OH	H	OH	OH	OH
282	OMe	OH	OMe	OMe	H	OH
283	OGlc	OH	H	OH	OH	OH
284	ORha(1→6)Glc	OH	H	OH	OH	OH
285	ORha	OH	H	OH	H	OH
286	ORha	OH	H	OH	OH	OH



Other compounds (Table 6)

In 2007, two new **373**, **374** and one known **375** butenolides were isolated from acetone extract of the whole plant of *S. katochaete* [102]. Colchicine **396** was isolated from *S. sacra* [79], and two indoles **377**, **378** were found in *S. deltoidea* [52, 70]. Dia-aurantiamide acetate **397** was isolated from *S. licentiana* in 2013 [103]. In 2009, Wu's group obtained seven active ceramides **388–394** [25]. The methanol extract of *S. medusa* Maxim afforded nine chlorophyll derivatives **379–387** in 2002. Among them, **379** and **381** are new compounds [14]. Uridine **395** was found in *S. laniceps* [20]. Five C_{10}-acetylenic glycosides (**398–402**) were isolated by Li's group from *S. cordifolia* in 2010 [73].

Biological activity

The biological activities of compounds isolated from the genus of *Saussurea* include antitumor, antibacterial, antimararial, anti-inflammatory and anti-ulcer properties.

Table 5 Coumarins, lignans and phenylpropanoids isolated from *Saussurea* genus.

No	Name	Source	Reference
294	Imperatorin	*S. medusa*	[96]
295	Scopolin	*S. cordifolia*	[73]
		S. superba	[81]
296	*o*-Hydroxycinnamic acid lactone	*S. laniceps*	[20]
297	Umbelliferone	*S. katochaete*	[53]
		S. superba	[81]
		S. medusa	[96]
298	Herniarin	*S. glacialis*	[70]
299	Isoscopoletin	*S. parviflora*	[4]
		S. macrota	[63]
300	Scopoletin	*S. cordifolia*	[73]
		S. superba	[81]
		S. katochaete	[53]
301	Scoparon	*S. elegans*	[101]
302	Medusaside A	*S. medusa*	[97]
303	(−)-Secoisolariciresinol	*S. medusa*	[14, 16]
304	Dihydrodehydrodiconiferyl alcohol 9′-*O*-β-D-glucopyranoside	*S. medusa*	[97]
305	Egonol	*S. macrota*	[63]
306	Saussurenoside	*S. japonica*	[87]
307	Conicaol B	*S. conica*	[75]
308	(7*E*,8′*R*)-7,8-Didehydroarctigenin	*S. conica*	[75]
309	2-Hydroxylappaol B	*S. gossypiphora*	[90]
310	7′-Hydroxyisolappaol A	*S. macrota*	[63]
311	Lappaol A	*S. macrota*	[63]
312	Deltoignan B	*S. deltoidea*	[32]
313	(+)-Arctigenin	*S. parviflora*	[4]
314	Matairesinol	*S. medusa*	[14]
		S. salicifolia	[18]
		S. macrota	[63]
315	(−)-Arctigenin	*S. medusa*	[14]
		S. salicifolia	[18]
		S. macrota	[63]
316	Traxillagenin	*S. conica*	[75]
317	Arctiin	*S. gossypiphora*	[90]
	Arctigenin 4-glucoside	*S. medusa*	[15, 16]
		S. conica	[75]
		S. laniceps	[8]
		S. macrota	[63]
		S. stella	[3]
318	Matairesinol 4-*O*-glucoside	*S. conica*	[75]
		S. parviflora	[4]
319	Arctigenin 4-*O*-(2″-*O*-acetyl-β-D-glucoside)	*S. involucrata*	[92]
320	Arctigenin 4-*O*-(3″-*O*-acetyl-β-D-glucoside)	*S. involucrata*	[92]
321	Arctigenin 4-*O*-(6″-*O*-acetyl-β-D-glucoside)	*S. involucrata*	[92]
322	Conicaol A	*S. conica*	[75]
323	Diarctigenin	*S. conica*	[75]
324	(+)-1-Hydroxypinoresinol	*S. deltoidea*	[57]
	8α-Hydroxypinoresinol	*S. pulchella*	[6]
325	1-Hydroxypinoresinol 1-β-D-glucopyranoside	*S. lappa*	[93]
		S. pulchella	[6]
326	(+)-Pinoresinol	*S. stella*	[3]
		S. medusa	[16]
		S. macrota	[63]
		S. medusa	[14]
327	(+)-Medioresinol	*S. medusa*	[14]
328	(+)-Pinoresinol 4-*O*-β-D-glucoside	*S. stella*	[3]
		S. medusa	[16]

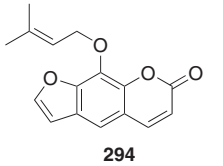
Table 5 (continued)

No	Name	Source	Reference
329	(−)-Syringaresinol	*S. medusa*	[14, 16]
	(+)-Syringaresinol	*S. macrota*	[63]
	Lirioresinol B	*S. pulchella*	[6]
330	(+)-Syringaresinol 4-*O*-β-D-glucoside	*S. stella*	[3]
		S. medusa	[16]
331	(+)-Pinoresinol di-*O*-β-D-glucoside	*S. stella*	[3]
332	Medioresinol di-*O*-β-D-glucoside	*S. stella*	[3]
333	6α-Catechyl-2α-guaicyl-3,7-dioxabicyclo[3.3.0]octan-4-one	*S. medusa*	[14]
		S. deltoidea	[32]
334	2α,4α-Diguaicyl-3,7-dioxabicyclo[3.3.0]octan-1α-ol	*S. medusa*	[14]
335	Epipinoresinol	*S. laniceps*	[8]
		S. medusa	[14]
336	Lanicepside B	*S. laniceps*	[8]
337	Lanicepside A	*S. laniceps*	[8]
338	Conicaoside	*S. conica*	[75]
339	Lariciresinol	*S. medusa*	[14]
340	Deltoignan A	*S. deltoidea*	[32]
	(2*R*,3*S*,4*S*)-4-(4-Hydroxy-3-methoxybenzyl)-2-(5-hydroxy-3-methoxyphenyl)-3-(hydroxymethyl)-tetrahydrofuran-3-ol	*S. cordifolia*	[73]
341	(−)-Berchemol	*S. medusa*	[14]
		S. medusa	[97]
342	Medusaside B	*S. medusa*	[97]
343	(−)-Massoniresinol 4″-*O* -β-D-glucopyranoside	*S. lappa*	[34, 35]
344	(−)-Olivil 4″-*O*-glucoside	*S. lappa*	[35]
345	(7*S*,8*R*,8′*R*)-5,5′-Dimethoxylariciresinol	*S. pulchella*	[6]
346	Picraquassioside C	*S. stella*	[3]
347	(7′*R*,8′*R*)-4-(3-Hydroxylpropenyl)-4′-(1,2,3-trihydroxypropyl)-2,2′-dimethoxybiphenyl ether	*S. pulchella*	[6]
348	Tangshenoside III	*S. involucrata*	[59]
349	Evofolin-B	*S. cordifolia*	[73]
		S. deltoidea	[57]
350	Tarennone	*S. cordifolia*	[73]
351	1-(4-Hydroxy-3-methoxyphenyl)-2-{2-methoxy-4-[(*E*)-3-hydroxyprop-1-enyl]phenoxy}propane-1,3-diol (threo)	*S. deltoidea*	[32]
352	7,8-*Threo*-4,9,9′-trihydroxy-3, 3′-dimethoxy-8-*O*-4′-neolignan	*S. deltoidea*	[32]
353	Benzyl 2-hydroxy-6-methoxybenzoate 2-*O*-β-D-glucoside	*S. involucrata*	[58]
354	Di-*O*-methylcrenatin	*S. stella*	[3]
355	Benzyl glucopyranoside	*S. laniceps*	[20]
356	Syringaldehyde	*S. deltoidea*	[57]
357	Saussurostelloside B1	*S. stella*	[3]
358	Saussurostelloside B2	*S. stella*	[3]
359	Syringin	*S. lappa*	[35]
360	Coniferaldehyde	*S. macrota*	[63]
361	Sinapaldehyde	*S. macrota*	[63]
362	1-*O*-(6-*O*-Acetyl-β-D-glucopyranosyl)-3-hydroxycinnamic acid	*S. graminea*	[99]
363	2-Methoxy-4-(2-propenyl)phenyl β-D-glucoside	*S. pulchella*	[6]
364	4-Allyl-2,6-dimethoxyphenyl glucoside	*S. pulchella*	[6]
365	3-Hydroxy-1-(4-hydroxy-3,5-dimethoxyphenyl)propan-1-one	*S. cordifolia*	[73]
366	2,3-Dihydroxy-1-(4-hydroxy-3,5-dimethoxyphenyl)propan-1-one	*S. cordifolia*	[73]
367	(2*S*)-3-(4-Hydroxy-3-methoxyphenyl)propane-1,2-diol	*S. medusa*	[97]
368	1,5-Di-*O*-caffeoylquinic acid	*S. involucrata*	[59]
		S. stella	
369	3-Caffeoylquinic acid	*S. triangulata*	[12]
	Chlorogenic acid	*S. stella*	[3]
370	3-*O*-Caffeoylquinic acid methyl ester	*S. medusa*	[16]
371	4-*O*-Caffeoylquinic acid methyl ester	*S. medusa*	[16]
		S. triangulata	[12]
372	5-*O*-Caffeoylquinic acid methyl ester	*S. medusa*	[16]
		S. triangulata	[12]

Among them, cytotoxicity is the main activity described in the past decade.

Cytotoxicity

Xu's group has tested 13 compounds against three cancer cell lines (A549, HeLa and SMMC-7721) and further studied the structure-activity relationship. Compounds **72**, **75**, **90**, **333** exhibit selective cytotoxicity, suggesting that the α,β-unsaturated lactone group of sesquiterpenes is the pharmacore of their cytotoxicity [32]. In 2005, arguerin B **73** and cynaropicrin **75** were confirmed to possessed significant cytotoxicity against five human tumor cell lines (A549, SK-OV-3, SK-MEL-2, XF498, HCT15) with the ED_{50} values of **73** and **75** of 0.23–1.72 and 0.29–1.37 μg/mL, respectively [31]. In 2009, Xiao's group reported that 11β,13-dihydrodesacylcynaropicrin **10**, deacylcynaropicrin **72** and cynaropicrin **75** show cytotoxicity against K562 and A549 cell lines. The IC_{50} values are 77.7, 7.14, and 3.16 μg/mL against K562, and 53.0, 33.0, and 32.4 μg/mL against A549 cells, respectively [52]. In 2007, Yang's group evaluated the cytotoxicity of **107**, **145**, **146**, **153**, **241**, **242**, **249**, **284**, **324**, **326**, **331**, **345**, **347**, **363**, **364**, obtained from *S. pulchella*, against four human cancer cell lines (A549, SK-OV-3, SK-MEL-2, and HCT15) by the SRB method, but all of them showed little activity with ED_{50} values of >30 μg/mL [6]. The next year, they found cynaropicrin **75** exhibit cytotoxicity against SK-MEL-2 and SK-OV-3 cell lines with ED_{50} values of 2.49 and 7.42 μM, respectively [7]. In 2011, sausinlactones A **19** and B **20** were tested for cytotoxicity against A549 cells ($IC_{50} \pm SD$ values of 0.01 ± 0.12, 2.89 ± 0.11 μM, respectively) [23]. Four structurally related compounds, 8-*O*-deacetylgerin **112**, gerin **113**, encelin **115** and 7α-hydroxygerin **140** were texted *in vitro* against SGC-7901 cells (human gastric carcinoma cells) by the MTT method, and all of them exhibited strong inhibitory activities [2]. In particular, encelin



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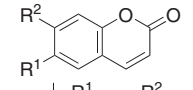
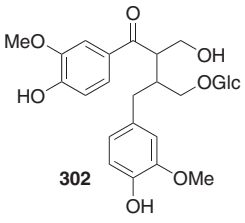


	R^1	R^2
295	OMe	OGlc
296	H	H
297	H	OH
298	H	OMe
299	OH	OMe
300	OMe	OH
301	OMe	OMe



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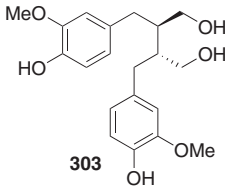

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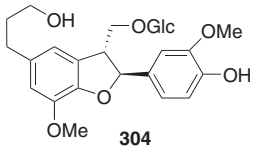

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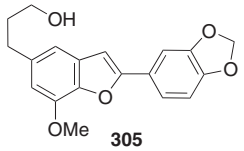

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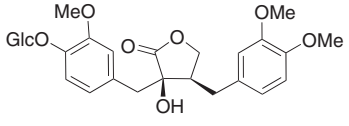

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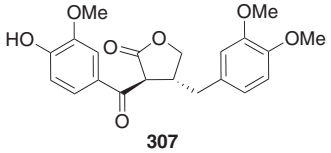

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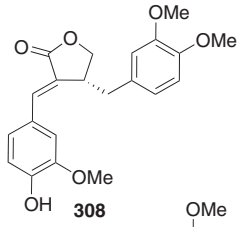

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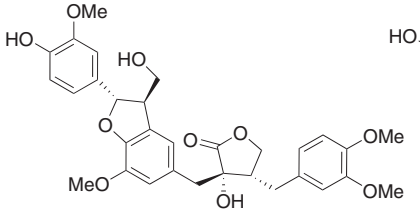

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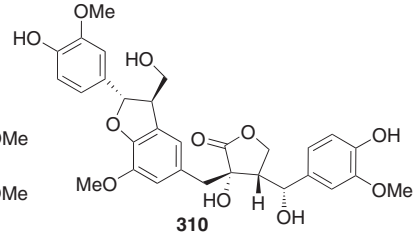

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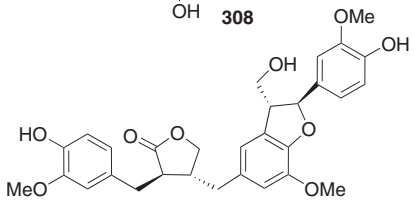

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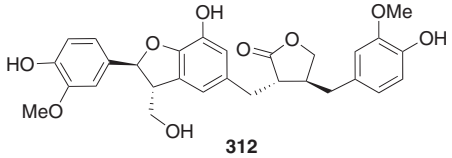

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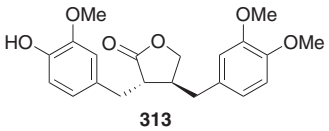

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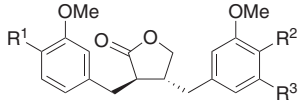
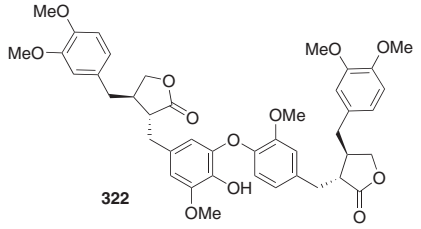


	R^1	R^2	R^3
314	OH	OH	H
315	OH	OMe	H
316	OH	OMe	OMe
317	O-Glc	OMe	H
318	O-Glc	OH	H
319	O-(2″-*O*-Ac)-Glc	OMe	H
320	O-(3″-*O*-Ac)-Glc	OMe	H
321	O-(6″-*O*-Ac)-Glc	OMe	H



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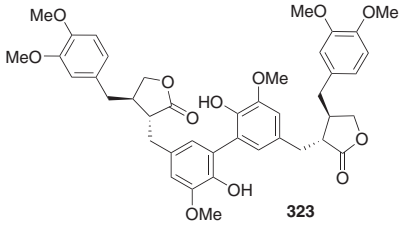
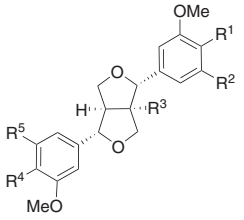
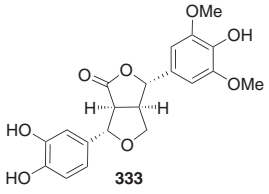


323



	R^1	R^2	R^3	R^4	R^5
324	OH	H	OH	OH	H
325	OH	H	OGlc	OH	H
326	OH	H	H	OH	H
327	OH	OMe	H	OH	H
328	OH	H	H	OGlc	H
329	OH	OMe	H	OH	OMe
330	OH	OMe	H	OGlc	OMe
331	OGlc	H	H	OGlc	H
332	OGlc	H	H	OGlc	OMe



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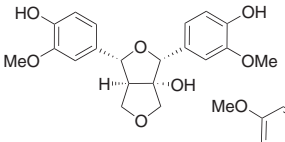

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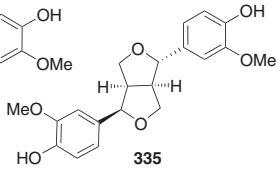

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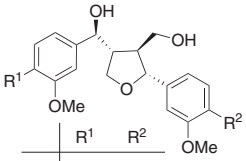
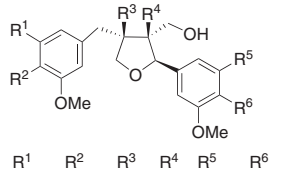
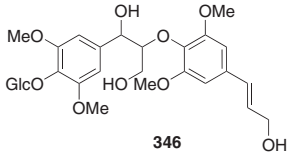


	R^1	R^2
336	OH	OGlc
337	OGlc	OH



	R^1	R^2	R^3	R^4	R^5	R^6
338	H	OH	H	H	OMe	OGlc
339	H	OH	H	H	H	OH
340	H	OH	H	OH	OH	H
341	H	OH	H	OH	H	OH
342	H	OH	H	OH	H	OGlc
343	H	OGlc	OH	OH	H	OH
344	H	OGlc	OH	H	H	OH
345	OMe	OH	H	H	OMe	OH



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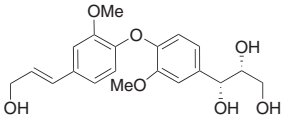

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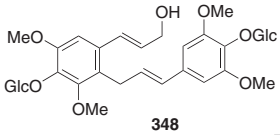

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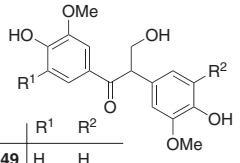
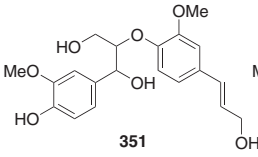


	R^1	R^2
349	H	H
350	OMe	OMe



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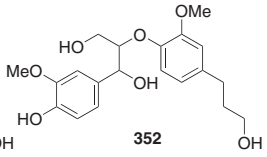

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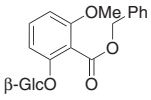

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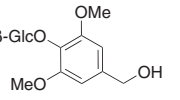

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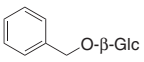

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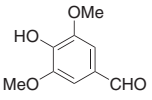

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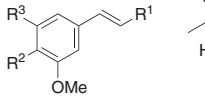
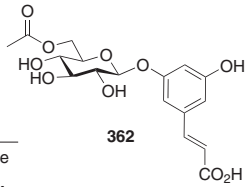


	R^1	R^2	R^3
359	CH_2OH	OGlc	OMe
360	CHO	OH	H
361	CHO	OH	OMe



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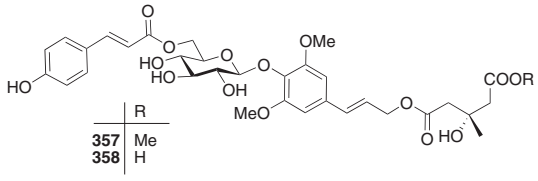
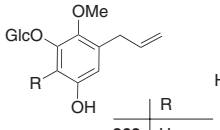
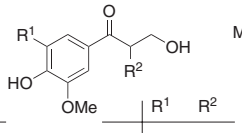


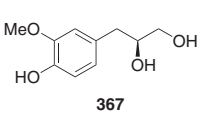
	R
357	Me
358	H



	R
363	H
364	OMe



	R^1	R^2
365	H	H
366	OMe	OH



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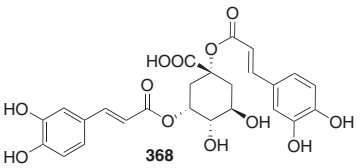

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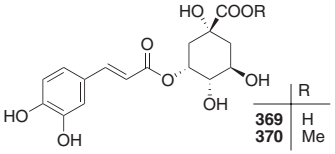
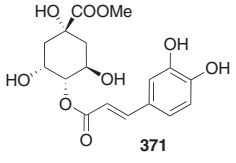


	R
369	H
370	Me



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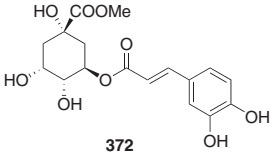
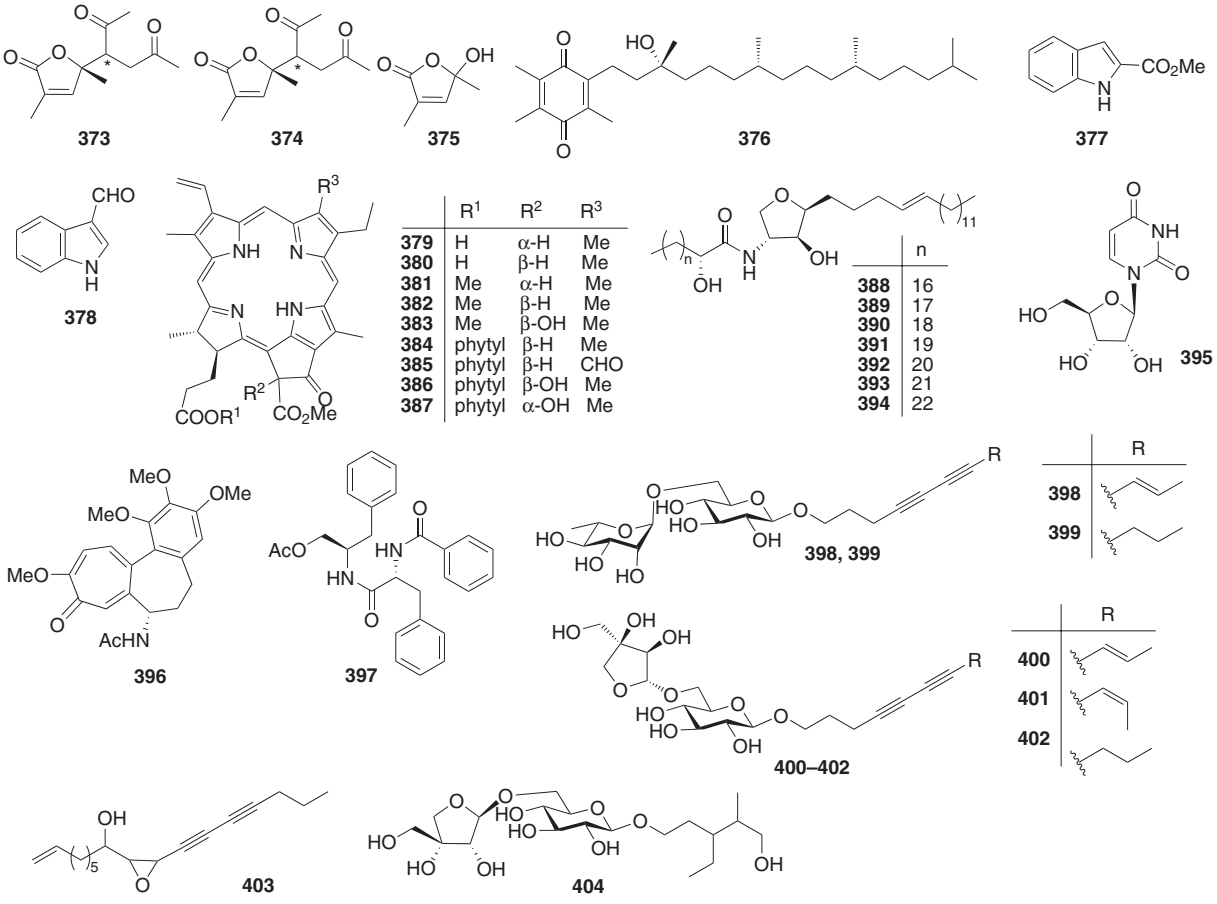


372

Table 6 Other compounds isolated from *Saussurea* genus.

No	Name	Source	Reference
373	(4*R*,1′*R**)-2,4-Dimethyl-4-(1′-acetyl-3′-oxobutyl)-2-butenolide	*S. katochaete*	[102]
374	(4*R*,1′*S**)-2,4-Dimethyl-4-(1′-acetyl-3′-oxobutyl)-2-butenolide	*S. katochaete*	[102]
375	2,4-Dimethyl-4-hydroxy-2-butenolide	*S. katochaete*	[102]
376	α-Tocopherylquinone	*S. deltoidea*	[72]
377	Methyl indole-3-carboxylate	*S. deltoidea*	[72]
378	Indole-3-aldehyde	*S. deltoidea*	[57]
379	13-Epi-phaeophorbide-a	*S. medusa*	[14]
380	Phaeophorbide-a	*S. medusa*	[14]
381	13-Epi-phaeophorbide-a methyl ester	*S. medusa*	[14]
382	Methyl phaeophorbide-a	*S. medusa*	[14]
383	Methyl-13$^2\beta$-hydroxyphaeophorbide-a	*S. medusa*	[14]
384	Pheophytin a	*S. medusa*	[14]
385	Pheophytin b	*S. medusa*	[14]
386	13$^2\beta$-Hydroxypheophytin a	*S. medusa*	[14]
387	13$^2\alpha$-Hydroxypheophytin a	*S. medusa*	[14]
388–394		*S. involucrata*	[25]
395	Uridine	*S. laniceps*	[20]
396	Colchicine	*S. sacra*	[79]
397	Dia-aurantiamide acetate	*S. licentiana*	[103]
398	(8*E*)-Dec-8-ene-4,6-diyn-1-yl *O*-α-L-rhamnopyranosyl-(1→6)-β -D-glucopyranoside	*S. cordifolia*	[73]
399	Deca-4,6-diyn-1-yl *O*-α-L-rhamnopyranosyl-(1→6)-β-D-glucopyranoside	*S. cordifolia*	[73]
400	(8*E*)-Dec-8-ene-4,6-diyn-1-yl *O*-β-D-apiofuranosyl-(1→6)-β-D-glucopyranoside	*S. cordifolia*	[73]
401	(8*Z*)-Dec-8-ene-4,6-diyn-1-yl *O*-β-D-apiofuranosyl-(1→6)-β-D-glucopyranoside	*S. cordifolia*	[73]
402	Deca-4,6-diyn-1-yl *O*-β-D-apiofuranosyl-(1→6)-β-D-glucopyranoside	*S. cordifolia*	[73]
403	9,10-Epoxyheptadec-1-ene-11,13-diyn-8-ol	*S. katochaete*	[53]
404	Saussurostelloside A	*S. stella*	[3]



115 is cytotoxic against L02, SMMC-7721 and HO-8910 cells with IC_{50} of 1.47 ± 0.01, 0.57 ± 0.26, 0.85 ± 0.06 μg/mL, respectively [4]. In 2003, Sun's group reported that dehydrocostuslactone **135** has potent cytotoxicity against HepG2, OVCAR-3 and HeLa cell lines with CD_{50} values in the range 1.6–3.5 μg/mL. They confirmed that α-methylene-γ-lactone moiety is necessary for the cytotoxicity and the presence of a hydroxy group reduces the activity [27]. The compound **135** also inhibits proliferation of MCF-7 and MDA-MB-453 cell lines (human breast cancer cell) [104]. Robinson reported that isodihydrocostunolide **133** shows activity against A431, Colo205, MCF-7 and A549 cell lines with IC_{50} of 107 ± 7.46, 27.03 ± 0.67, 35.05 ± 9.37, and 125 + 0.95 μg/mL, respectively [68]. Dai's group reported that compounds **167–169**, **176**, **180**, **195** exhibit moderate cytotoxicity against three tumor cell lines (SMMC-7721, HeLa and B16) [13] *in vitro*, and compound **169** shows a strong inhibitory effect against B16 cells with IC_{50} of 20 μg/mL. In same year, they found petrovin A **110** and petrovin B **103** are cytotoxic against this three cell lines (all the IC_{50} values were 69.9–126.5 μg/mL) [62]. Hu's group evaluated cytotoxicity of compounds **186–193** they isolated from *S. graminea* against eight tumor cell lines (A-549, BGC-823, HCT15, HeLa, HepG2, MCF-7, SGC-7901 and SK-MEL-2) and found that compounds **191** and **193** exhibit the most potent cytotoxicity with IC_{50} value of 7.46–10.69 and 7.05–10.79 μM, respectively [17]. Wang's group confirmed that compounds **230–236**, **238**, **239** are cytotoxic toward human hepatoma cells Bel-7402 and human gastric cancer cells BGC-823 (IC_{50} values <1 μM) [94]. The same group found in 2004 that lappaol A **311** and matairesinol **314** inhibit Bel-7402 and HO-8910 cells with IC_{50} value of 5.30–7.93 μg/mL [63]. Seven ceramides **388–394** show cytotoxicity against three human tumor cell lines (HL-60, A375-S2 and HeLa cell lines) with the cells viability <80% [25].

Antibacterial activity

According to Dai's group, compounds **167–169**, **176**, **180**, **195** exhibit antibacterial activity against *B. subtilis*, *E. coli* and *S. aureus* [13]. In the same year, they found petrovin A **110** and petrovin B **103** to be active against these three bacteria [62]. Li's group reported that compounds **169**, **196** and **290** are strongly antibacterial against *Actinomyces viscosus* (ATCC 27044) [85]. KSR1-KSR4 **289–292** and their mixtures were texted *in vitro* for antifungal activity against nine fungi (*Aspergillus niger* (ATCC 6275), *A. Ochraceus* (ATCC 12066), *A. versicolor* (ATCC 11730), *A. flavus* (ATCC 9643), *Penicilium hrochloron* (ATCC 9112), *P. funiculosum* (ATCC 36839), *Trichoderma viride* (IAM 61), *Cladosporium cladosporioides* (ATCC 13276) and *Alternaria alternate* (DSM 2006), and all the compounds were active [98].

Anti-inflammatory activity

In 2000, Cho's group suggested that cynaropicrin **75** can inhibit the production of inflammatory mediators and the proliferation of lymphocytes with the inhibitory effect being associated with target proteins containing sulfydryl groups [105]. In 2011, compounds **6** and **9** were confirmed to inhibit the NO secretion and proliferation of RAW 264.7 cell in response to LPS [23]. Fan reported that arctigenin **313** and matairesinol **314** can reduce NO production in LPS-activated macrophages of SD rat [75]. Tung's group confirmed that deltoidealactone **137** inhibits tumor necrosis factor (TNF-α) in U937 cells with an IC_{50} value of 1.47 μg/mL [72].

Protective activities

Fan's group has found that compound **264** attenuates the scopolamine induced memory deficit of mice and shows cell protective activities against H_2O_2-induced cell damage [97]. Matsuda's group said that saussureamines A **139**, B **29**, C **30** and dehydrocostuslactone **135** are gastro-protective when the experimental model is acidified ethanol-induced gastric mucosal lesions [34].

Anti-ulcer activity

Yoshikawa reported that saussureamines A **139**, B **29** and C **30** possess anti-ulcer effect [35].

Antiangiogenic activity

In 2002, Jeong's group reported that dehydrocostuslactone **135** exerts an antiangiogenic effect, and has the potential to become a novel angiogenesis inhibitor [106].

Plant growth regulation

4β-Methoxydehydrocostuslactone **151** was found in 1992 that it is a plant growth regulator [74].

Other activities

Wang's group has reported that compound **97** could restrain the proliferation of murine T and B cells *in vitro* [26]. Further study with *S. lancieps* have shown that lanicepomine A **92** is a significant inhibitor of proliferation of murine T cells at 0.1 μM [9]. Choi has reported that 1β-hydroxyarbusculin A **127**, reynosin **129**, and dehydrocostuslactone **135** can inhibit the IBMX-induced melanogenesis with IC_{50} values of 11, 2.5 and 3 μg/mL, respectively [67]. In 2013, Zhu's group reported that compound **154** could be used for treating ischemic stroke [107]. Compound **328** inhibits the release of β-glucuronidase from PAF-stimulated neutrophils [3].

Conclusions

This review gives a systematical summary of the progress in the chemistry and biological activity of *Saussurea* genus plants in the past 50 years. Sesquiterpenes, triterpenes, flavonoids, and lignans as the major components found in this genus, with sesquiterpenes being the most numerous constituents. Among 404 compounds listed in the review, 232 compounds are heterocyclic derivatives. The diversity of the structures in *Saussurea* genus explains the broad activities of the plants used in the folk medicine. More than 200 natural product-derived drugs are in preclinical or clinical development [108, 109]. The compounds isolated from *Saussurea* genus plants exhibited wide array of activities, especially some sesquiterpenes show significant cytotoxicity [110, 111]. About 400 species of *Saussurea* plant are distributed throughout Asia and Europe, and 264 species are in China. Among them, 30 species have been used in traditional Chinese medicine and more than 10 species have long been used in China as folk medicine. Only 40 of the approx 400 species have been studied in detail. Phytochemical and pharmacological studies of the genus *Saussurea* have received much interest in recent years. But there are about 360 plants that are not exploited in detail. Therefore, further studies of these plants are required for the development of new drugs and therapeutics for the treatment of various diseases.

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